Claims

What is claimed is:

hpving the formula

1. A compound selected from the group consisting of

a

0

W

(PV)

(III)

NMe₂-

10 and.

NMe₂

or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein either,

Y and Z taken together define a group X, wherein

- X is selected from the group consisting of 20
 - =O, (1)

- (2) =N-OH,
- =N-O-R¹ where R¹ is selected from the group consisting of (3)
 - unsubstituted C₁-C₁₂-alkyl, (a)
 - C₁-C₁₂-alkyl substituted with aryl, (b)
 - C₁-C₁₂-alkyl substituted with substituted aryl, (c)
 - C₁-C₁₂-alkyl substituted with heteroaryl, (d)
 - C₁-C₁₂-alkyl substituted with substituted heteroaryl, (e)
 - C₃-C₁₂-cycloalkyl, and (f)
- -Si-(R²)(R³)(R⁴) wherein R², R³ and R⁴ are each independently 30 (g) selected from C₁-C₁₂-alkyl and Aryl;

and

=N-O-C(R^5)(R^6)-O- R^1 where R^1 is as previously defined and R^5 and R^6 are (4) each independently selected from the group consisting of

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- (a) hydrogen,
- unsubstituted C₁-C₁₂-alkyl, (b)
- (c) C₁-C₁₂-alkyl substituted with aryl,
- C₁-C₁₂-alkyl substituted with substituted aryl, (d)
- (e) C_1 - C_{12} -alkyl substituted with heteroaryl,

40

(f) C₁-C₁₂-alkyl substituted with substituted heteroaryl,

or

and

R⁵ and R⁶ taken together with the atom to which they are attached form a C₃-C₁₂-cycloalkyl ring;

· 45

one of Y and Z is hydrogen and the other is selected from a group consisting of

- (1) hydrogen,
- (2) hydroxy,
- protected hydroxy, (3)

and

the group consisting of NR⁷R⁸ wherein R⁷ and R⁸ are independently selected from hydrogen and **(4)** C₁-C₆-alkyl, or R⁷ and R⁸ are taken with the nitrogen atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C₁-C₆-alkyl-)-, -N(aryl)-, -N(aryl-C₁-C₆-alkyl-)-, -N(substituted-aryl-C₁-C₆-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C₁-C₆-alkyl-)-,

-N(substituted-heteroaryl-C₁-C₆-alkyl-)-, and -S- or -S(O)_n-, wherein n is 1 or 2,

Ra is hydrogen or hydroxy;

60

Rb is selected from the group consisting of hydroxy, -O-C(O)-NH2 and -O-C(O)-imidazolyl;

R^c is hydrogen or a hydroxy protecting group;

65

L is methylene or carbonyl, provided that when L is methylene, T is -O-,

T is selected from the group consisting of -O-, -NH-, and -N(W-Rd)-, wherein

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W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and
70
              -NH-;
          and
          Rd is selected from the group consisting of
              (1)
                      hydrogen,
              (2)
                      C<sub>1</sub>-C<sub>6</sub>-alkyl optionally substituted with one or more substituents selected
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- from the group consisting of
 - (a) aryl,
 - (b) substituted-aryl,
 - (c) heteroaryl,
 - (d) substituted-heteroaryl,
 - (e) hydroxy,
 - (f) C_1 - C_6 -alkoxy,
 - NR⁷R⁸, wherein R⁷ and R⁸ are as defined previously, (g) and
 - -CH₂-M-R⁹ (h)

wherein M is selected from the group consisting of:

- (i) -C(O)-NH-,
- (ii) -NH-C(O)-,
- (iii) -NH-,
- (iv) -N=,
- (v) -N(CH₃)-,
- (vi) -NH-C(O)-O-
- -NH-C(O)-NH-(vii)
- (viii) -O-C(O)-NH-
- -O-C(O)-O-(ix)
- (x) -O-,
- $-S(O)_{n}$, wherein n is 0, 1 or 2, (xi)
- (xii) -C(O)-O-,
- -O-C(O)-, (xiii)

and

(xiv) -C(O)-,

and

R⁹ is selected from the group consisting of:

C₁-C₆-alkyl, optionally substituted with a substituent (i) selected from the group consisting of

				(aa)	aryl,
	ž.			(bb)	substituted-aryl,
				(cc)	heteroaryl, and
				(dd)	substituted-heteroaryl,
110			(ii)	aryl,	
			(iii)	substi	tuted-aryl,
•			(iv)	hetero	paryl,
			(v)	substi	tuted-heteroaryl,
			and		
115			(vi)	hetero	cycloalkyl,
•	(3)	C ₃ -C ₇	-cycloalkyl,		
	(4)	aryl,			•
	(5)	substit	tuted-aryl,		
	(6)	hetero	aryl,		
⊒20	and				
120 135 135 25 130 130 130 130 130 130 130 130 130 130	(7)	substit	tuted-heteroary	' l;	
II II					
Ti	R is selected i	from the	group consist	ing of	
LL LFI	(1)	methy	l substituted w	ith a mo	iety selected from the group consisting of
_125		(a)	CN,		
3 		(b)	F ,		
-		(c)			0 is C_{1} - C_{3} -alkyl or aryl substituted C_{1} - C_{3} -alkyl,
gozzi Jesta			· ·		ted C ₁ -C ₃ -alkyl,
W J		(d)			0, 1 or 2 and R^{10} is as previously defined,
[]130		(e)			R ¹⁰ is as previously defined,
		(f)			nerein R ¹¹ and R ¹² are independently
					en, C ₁ -C ₃ -alkyl, C ₁ -C ₃ -alkyl substituted with
				ted aryl,	heteroaryl, substituted heteroaryl,
		(g)	aryl,		
135		(h)	substituted an	ryl,	
		(i)	heteroaryl,		
		and			
		(j)	substituted he	eteroary)	,
	(2)		₀ -alkyl,		
140	(3)		-	uted with	n one or more substituents selected from the
	group	consisti	•		
		(a)	halogen,		

		(b)	hydrox	xy,
		(c)	C_1 - C_3 -	-alkoxy,
145		(d)	C ₁ -C ₃ -	-alkoxy-C ₁ -C ₃ -alkoxy,
		(e)	oxo,	
		(f)	-N ₃ ,	
		(g)	-СНО,	
		(h)	O-SO ₂	-(substituted C ₁ -C ₆ -alkyl),
150		(i) ·	-NR ¹³ J	R ¹⁴ wherein R ¹³ and R ¹⁴ are selected from the group
		consist	ing of	•
			(i)	hydrogen,
			(ii)	C_1 - C_{12} -alkyl,
			(iii)	substituted C ₁ -C ₁₂ -alkyl,
155			(iv)	C ₁ -C ₁₂ -alkenyl,
			(v)	substituted C ₁ -C ₁₂ -alkenyl,
C.			(vi)	C ₁ -C ₁₂ -alkynyl,
II.			(vii)	substituted C ₁ -C ₁₂ -alkynyl,
「			(viii)	aryl,
<u></u> 160			(ix)	C ₃ -C ₈ -cycloalkyl,
W In			(x)	substituted C ₃ -C ₈ -cycloalkyl,
			(xi)	substituted aryl,
2 			(xii)	heterocycloalkyl,
اسا ال _ع راً			(xiii)	substituted heterocycloalkyl,
1 65			(xiv)	C ₁ -C ₁₂ -alkyl substituted with aryl,
u J			(xv)	C ₁ -C ₁₂ -alkyl substituted with substituted aryl,
ike.			(xvi)	C ₁ -C ₁₂ -alkyl substituted with heterocycloalkyl,
			(xvii)	C ₁ -C ₁₂ -alkyl substituted with substituted heterocycloalkyl,
			(xviii)	C ₁ -C ₁₂ -alkyl substituted with C ₃ -C ₈ -cycloalkyl,
170			(xix)	C ₁ -C ₁₂ -alkyl substituted with substituted C ₃ -C ₈ -cycloalkyl,
			(xx)	heteroaryl,
			(xxi)	substituted heteroaryl,
				C_1 - C_{12} -alkyl substituted with heteroaryl,
			and	•
175			(xxiii)	C ₁ -C ₁₂ -alkyl substituted with substituted heteroaryl,
	,	or		
			n 13	d D 14 and delega de cable a cable de c

 $\,R^{13}$ and $\,R^{14}$ are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be

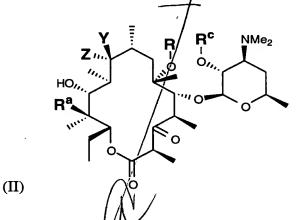
		substi	tuted with one or more substituents independently selected from the
180			consisting of
		U I	(i) halogen,
			(ii) hydroxy,
			(iii) C ₁ -C ₃ -alkoxy,
			(iv) C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
185			(v) oxo,
			(vi) C ₁ -C ₃ -alkyl,
			(vii) halo-C ₁ -C ₃ -alkyl,
			and
			(vii) C ₁ -C ₃ -alkoxy-C ₁ -C ₃ -alkyl,
190		(j)	-CO ₂ R ¹⁰ wherein R ¹⁰ is as previously defined,
		(k)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(1)	=N-O-R ¹⁰ wherein R ¹⁰ is as previously defined,
۵		(m)	-C≡N,
三 数 数 数 数 数 数 数 数 数 数 数 数 数		(n)	O-S(O) _n R ¹⁰ wherein n is 0, 1 or 2 and R ¹⁰ is as previously defined,
띮 년95		(o)	aryl,
Q	•	(p)	substituted aryl,
li Li		(q)	heteroaryl,
	•	(r)	substituted heteroaryl,
g 2		(s)	C ₃ -C ₈ -cycloalkyl,
200		(t)	substituted C ₃ -C ₈ -cycloalkyl,
		(u)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
L		(v)	heterocycloalkyl,
**************************************		(w)	substituted heterocycloalkyl,
		(x)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
205		(y)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(z)	=N-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
		(aa)	=N-R ⁹ wherein R ⁹ is as previously defined,
		(bb)	=N-NHC(O) R^{10} wherein R^{10} is as previously defined,
		and	
210		(cc)	=N-NHC(O)NR ¹¹ R ¹² wherein R^{11} and R^{12} are as previously
			defined;
	(4)	C ₃ -all	kenyl substituted with a moiety selected from the group consisting of
. •		(a)	halogen,
		(b)	-СНО,
215		(c)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,

		(d)	-C(O)-R ⁹ where R ⁹ is as previously defined,
		(e)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(f)	-C≡N,
		(g)	aryl,
220		(h)	substituted aryl,
		(i)	heteroaryl,
		(j)	substituted heteroaryl,
		(k)	C ₃ -C ₇ -cycloalkyl,
•		and	
225		(1)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
	(5)	C ₄ -C ₁	10-alkenyl;
	(6)	C ₄ -C ₁	10-alkenyl substituted with one or more substituents selected from the
	group	consist	ing of
		(a)	halogen,
230		(b)	C ₁ -C ₃ -alkoxy,
		(c)	oxo,
		(d)	-СНО,
		(e)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
ŭ.		(f)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
235		(g)	-NR 13 R 14 wherein R 13 and R 14 are as previously defined,
		(h)	=N-O- R^{10} where R^{10} is as previously defined,
<u> </u>		(i)	-C≡N,
		(j)	$O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
¥.		(k)	aryl,
240		(1)	substituted aryl,
		(m)	heteroaryl,
		(n)	substituted heteroaryl,
		(o)	C ₃ -C ₇ -cycloalkyl,
		(p)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
245	-	(q)	NHC(O) R^{10} where R^{10} is as previously defined,
		(r)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(s)	=N-NR 13 R 14 wherein R 13 and R 14 are as previously defined,
		(t)	=N-R ⁹ wherein R ⁹ is as previously defined,
		(u)	=N-NHC(O) R^{10} where R^{10} is as previously defined,
250		and	
		(v)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined;

	(7)	C ₃ -C ₁₀ -alkynyl;
	and	
255	(8)	C ₃ -C ₁₀ -alkynyl substituted with one or more substituents selected from the
		group consisting of
		(a) trialkylsilyl,
		(b) aryl,
		(c) substituted aryl,
260		(d) heteroaryl,
		and
		(e) substituted heteroaryl;
\$		
	and	
265		
	A, B, D and	E, with the provision that at least two of A, B, D and E are hydrogen, are
	independentl	y selected from the group consisting of:
il Ti	(a)	hydrogen;
面	(b)	C ₁ -C ₆ -alkyl, optionally substituted with one or more substituents selected
		from the group consisting of:
U		(i) aryl;
		(ii) substituted-aryl;
		(iii) heteroaryl;
****		(iv) substituted-heteroaryl;
<u>∟</u> <u>1</u> 275		(v) heterocycloalkyl;
		(vi) hydroxy;
3.0		(vii) C ₁ -C ₆ -alkoxy;
		(viii) halogen consisting of Br, Cl, F or I; and
		(ix) NR^7R^8 , wherein R^7 and R^8 are as previously defined;
280	(c)	C ₃ -C ₇ -cycloalkyl;
	(d)	aryl;
	(e)	substituted-aryl;
	(f)	heteroaryl;
	(g)	substituted-heteroaryl;
285	(h)	heterocycloalkyl; and
	(i)	a group selected from option (b) above further substituted with -M-R ⁹ ,
	` '	wherein M and R ⁹ are as previously defined;

or

- any one pair of substituents, consisting of AB, AD, AE, BD, BE or DE, is taken together with the atom or atoms to which they are attached to form a 3- to 7-membered ring optionally containing a hetero function selected from the group consisting of-O-, -NH-, -N(C₁-C₆-alkyl-)-, -N(aryl-C₁-C₆-alkyl-)-, -N(substituted-aryl-C₁-C₆-alkyl-)-, -N(heteroaryl-C₁-C₆-alkyl-)-, -N(substituted-heteroaryl-C₁-C₆-alkyl-)-, -S- or -S(O)_n-, wherein n is 1 or 2, -C(O)-NH-, -C(O)-NR¹²-, wherein R¹² is as previously defined, -NH-C(O)-, -NR¹²-C(O)-, wherein R¹² is as previously defined, and -C(=NH)-NH-.
- 2. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable carrier
- 3. A method for controlling a bacterial infection in a mammal comprising administering to an mammal a therapeutically-effective pharmaceutical composition containing a compound according to Claim 1.
 - 4. A compound according to Claim 1, having the formula (II),



- wherein Z, Y, R, Ra and Rc are as described therein.
 - 5. A compound according to Claim 4 which is the compound of Formula (II), Ra is OH, Rc is benzoyl, R is allyl.
 - 6. A compound according to Claim 4 wherein R^a is hydroxy and R^c is hydrogen.

7. A compound according to Claim 4 having the formula VIII,

5 wherein X is O or NOH, and R is as defined therein.

8. A compound according to Claim 7 which is selected from the group

consisting of:

Compound of Formula (VIII): X is O, R is ally i;

Compound of Formula (VIII): X is NOH,/R is allyl.;

Compound of Formula (VIII): X is O, R is propyl;

Compound of Formula (VIII): X is O, R/is -CH2CHO;

Compound of Formula (VIII): X is O, R is -CH2CH=NOH;

Compound of Formula (VIII): X is NOH, R is -CH2CH=NOH;

Compound of Formula (VIII): X is O, R is -CH₂CN;

Compound of Formula (VIII): X is Ø, R is -CH₂CH₂NH₂;

Compound of Formula (VIII): X is O, R is -CH2CH2NHCH2-Phenyl;

Compound of Formula (VIII): X is O, R is -CH2CH2NHCH2CH2-Phenyl;

Compound of Formula (VIII): X is O, R is -CH2CH2NHCH(CO2CH3)CH2-Phenyl;

Compound of Formula (VIII): X is O, R is -CH2CH2NHCH2-(4-pyridyl);

Compound of Formula (VIII): X/is O, R is -CH2CH2NHCH2-(4-quinolyl);

Compound of Formula (VIII): X is O, R is -CH₂CH=CH-Phenyl;

Compound of Formula (VIII): X is O, R is -CH2CH2CH2-Phenyl;

Compound of Formula (VIII):/X is O, R is -CH2CH=CH-(4-methoxyphenyl);

Compound of Formula (VIII): X is O, R is -CH2CH=CH-(4-chlorophenyl);

Compound of Formula (VIII): X is O, R is -CH2CH=CH-(3-quinolyl);

Compound of Formula (VIII): X is O, R is -CH2CH2CH2OH.;

Compound of Formula (VIII): X is O, R is -CH₂C(O)OH;

Compound of Formula (VIII): X is O, R is -CH₂CH₂NHCH₃;

Compound of Formula (VIII): X is O, R is -CH₂CH₂NHCH₂OH;

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Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>:
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH<sub>2</sub>(1-morpholinyl);
Compound of Formula (VIII): X is O, R is -CH_2C(O)NH_2;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>NHC(O)NH<sub>2</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>NHC(O)CH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>F;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH=CH(CH<sub>3</sub>)<sub>2</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)CH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH2CH2OCH2CH2OCH3;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>SCH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -cyclopropyl;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>OCH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH<sub>2</sub>F;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-cyclopropyl;
Compound of Formula (VIII): X is O, R is -CH2CH2CHO;
Compound of Formula (VIII): X is O, R is \( \frac{1}{2}C(O)CH_2CH_2CH_3; \)
Compound of Formula (VIII): X is O, R is/-CH<sub>2</sub>-(4-nitrophenyl);
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-(4-chlorophenyl);
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-(4-methoxyphenyl);
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-(4-cyanophenyl);
Compound of Formula (VIII): X is O, R/is -CH<sub>2</sub>CH=CHC(O)OCH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH=CHC(O)OCH<sub>2</sub>CH<sub>3</sub>;
Compound of Formula (VIII): X is O Ris -CH2CH=CHCH3;
Compound of Formula (VIII): X is O, R is 7CH2CH=CHCH2CH3;
Compound of Formula (VIII): X is O R is -CH2CH=CHCH2CH2CH3;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>CH=CHSO<sub>2</sub>-phenyl;
Compound of Formula (VIII): X is \emptyset, R is -CH<sub>2</sub>C=C-Si(CH<sub>3</sub>)<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>C≡CCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>;
Compound of Formula (VIII): X is/O, R is -CH<sub>2</sub>C≡CCH<sub>3</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-(2-pyridyl);
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-(3-pyridyl);
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>-(4-pyridyl);
Compound of Formula (VIII): X/is O, R is -CH<sub>2</sub>-(4-quinolyl);
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>NO<sub>2</sub>;
Compound of Formula (VIII): X is O, R is -CH<sub>2</sub>C(O)OCH<sub>3</sub>;
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Compound of Formula (VIII): X is O, R is -CH₂C(O)-phenyl;

Compound of Formula (VIII): X is O, R is -CH₂C(O)CH₂CH₃;

Compound of Formula (VIII): X is O, R is -CH₂Cl;

Compound of Formula (VIII): X is O, R is -CH₂S(O)₂-phenyl;

Compound of Formula (VIII): X is O, R is -CH2CH=CHBr;

Compound of Formula (VIII): X is O, R is -CH₂CH=CH-(4-quinolyl;

Compound of Formula (VIII): X is O, R is -CH₂CH₂CH₂-(4-quinolyl;

Compound of Formula (VIII): X is O, R is -QH₂CH=CH-(5-quinolyl;

Compound of Formula (VIII): X is O, R is -¢H₂CH₂CH₂-(5-quinolyl;

Compound of Formula (VIII): X is O, R is -¢H₂CH=CH-(4-benzoxazolyl;

Compound of Formula (VIII): X is O, R is -CH2CH=CH-(7-benzimidazolyl;

Compound of Formula (VIII): X is O, R is CH2-(3-iodophenyl);

Compound of Formula (VIII): X is O, R is/CH₂-(2-naphthyl);

Compound of Formula (VIII): X is O, R is CH2-CH=CH-(4-fluorophenyl); and

Compound of Formula (VIII): X is O, R is CH2-CH(OH)-CN.

9. A process for the preparation of 6-O-substituted macrolide compounds having the Formula:

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wherein either,

Y and Z taken together define a group X,

wherein

X is selected from the group consisting of

- (1) = 0,
- (2) = N-OH,
- (3) = $N-O-R^1$ where R^1 is selected from the group consisting of
 - (a) unsubstituted C_1 - C_{12} -alkyl,
 - (b) $C_1 C_{12}^{1}$ -alkyl substituted with aryl,

R is selected	from the	group consisting of
(1)	methy	l substituted with a moiety selected from the group consisting of
	(a)	CN,
	(b)	F,
	(c)	-CO ₂ R ¹⁰ wherein R ¹⁰ is C_1 -C ₃ -alkyl or aryl substituted C ₁ -C ₃ -alkyl,
		or heteroaryl substituted C ₁ -C ₃ -alkyl,
	(d)	$S(O)_n R^{10}$ where n is $0/1$ or 2 and R^{10} is as previously defined,
	(e)	NHC(O)R ¹⁰ where R_{i}^{10} is as previously defined,
	(f)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are independently
		selected from hydrogen, C ₁ -C ₃ -alkyl, C ₁ -C ₃ -alkyl substituted with
		aryl, substituted aryl, heteroaryl, substituted heteroaryl,
	(g)	aryl,
	(h)	substituted aryl,
	(i)	heteroaryl,
	and	
	(j)	substituted heteroaryl,
(2)	C_2 - C_1	0-alkyl,
(3)	C_2 - C_1	0-alkyl substituted with one or more substituents selected from the
group	consist	ing of
	(a)	halogen,
	(b)	hydroxy,
	(c)	C_1 - C_3 -alkoxy,
	(d)	C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
	(e)	oxo,
	(f)	-N ₃ ,
	(g) _.	-CHO,
	(h)	O-SO ₂ -(substituted C ₁ -C ₆ -alkyl),
	(i)	-NR ¹³ R ¹⁴ /wherein R ¹³ and R ¹⁴ are selected from the group
	consis	ting of
		(i) hydrogen,
		(ii) C_1 -C ₁₂ -alkyl,
		(iii) substituted C ₁ -C ₁₂ -alkyl,
		(iv) C_1 - C_{12} -alkenyl,
		(v) \int substituted C_1 - C_{12} -alkenyl,
		(vi) $\int C_1-C_{12}$ -alkynyl,
		(vii) \int substituted C_1 - C_{12} -alkynyl,
	(2) (3)	(1) methy (a) (b) (c) (d) (e) (f) (g) (h) (i) and (j) (2) C ₂ -C ₁ (3) C ₂ -C ₁ group consists (a) (b) (c) (d) (e) (f) (g) (h) (i)

90	(viii)	aryl,
	(ix)	C ₃ -C ₈ -cycloalkyl,
	(x)	substituted C ₃ -C ₈ -cycloalkyl,
	(xi)	substituted aryl,
	(xii)	heterocycloalkyl,
95	(xiii)	substituted heterocycloalkyl,
	(xiv)	C ₁ -C ₁₂ -alkyl substituted with aryl,
	(xv)	C ₁ -C ₁₂ -alkyl substituted with substituted aryl,
	(xvi)	C ₁ -C ₁₂ -alkyl substituted with heterocycloalkyl,
	(xvii)	C ₁ -C ₁₂ -alkyl substituted with substituted heterocycloalkyl,
100	(xviii)	C ₁ -C ₁₂ -alkyl substituted with C ₃ -C ₈ -cycloalkyl,
	(xix)	C ₁ -C ₁₂ -alkyl substituted with substituted C ₃ -C ₈ -cycloalkyl,
	(xx)	heteroaryl,
	(xxi)	substituted heteroaryl,
	(xxii)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
1 05	and	
	(xxiii)	C_1 - C_{12} -alkyl substituted with substituted heteroaryl,
	or	
li Li	R ¹³ an	ad R ¹⁴ are taken together with the atom to which they are
	attached form	a 3-10 membered heterocycloalkyl ring which may be
#10	substituted wi	th one or more substituents independently selected from the
* #10 ~	group consisti	$I I \setminus I$
	(i)	halogen,
# 1	(ii)	hydroxy, V
*	(iii)	C ₁ -C ₃ -alkoxy,
115	(iv)	C ₁ -C ₃ -alkoxy-C ₁ -C ₃ -alkoxy,
	(v)	oxo,
	(vi)	C_1 - C_3 -alkyl,
	(vii)	halo-C ₁ -C ₃ -alkyl,
	and	
120	(vii)	C_1 - C_3 -alkoxy- C_1 - C_3 -alkyl,
	(j) -CO ₂ F	R ¹⁰ wherein R ¹⁰ is as previously defined,
	(k) -C(O)	NR^{11}/R^{12} wherein R^{11} and R^{12} are as previously defined,
	(1) = N-O-	R ¹⁰ /wherein R ¹⁰ is as previously defined,
	(m) -C≡N	, /
125	(n) O-S(O	$n_{\rm p}$ wherein n is 0, 1 or 2 and R ¹⁰ is as previously defined,
	(o) aryl,	
		1.
		•

		(p)	substituted aryl,
		(q)	heteroaryl,
		(r)	substituted heteroaryl,
130		(s)	C ₃ -C ₈ -cycloalkyl,
		(t)	substituted C ₃ -C ₈ -cycloalkyl,
		(u)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
		(v)	heterocycloalkyl,
		(w)	substituted heterocycloalkyl,
135		(x)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
		(y)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
•		(z)	=N-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
		(aa)	=N-R ⁹ wherein R ⁹ is as previously defined,
		(bb)	=N-NHC(O)R ¹⁰ wherein R ¹⁰ is as previously defined,
140		and	
		(cc)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
		()	defined;
	(4)	Ca-alk	kenyl substituted with a moiety selected from the group consisting of
1	()	(a)	halogen,
년 1 7 45		(b)	-CHO,
J 745		(c)	$-CO_2R^{10}$ where R^{10} is as previously defined,
s		(d)	-C(O)-R ⁹ where R ⁹ is as previously defined,
# 1		(e)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(f)	-C≡N,
₩ 1 50		(g)	aryl,
		(h)	substituted aryl,
		(i)	heteroaryl,
		(j)	substituted heteroaryl,
		(k)	C ₃ -C ₇ -cycloalkyl,
155		and	
		(1)	C_1 - C_{12} -alkyl substituted with heteroaryl,
	(5)	• •	0-alkenyl;
	(6)		0-alkenyl substituted with one or more substituents selected from the
	• •	consist	
160	•	(a)	halogen,
	•	(b)	C_1 - C_3 -alkoxy,
		(c)	oxo,
	•	(d)	-СНО,

		•	
		(e) -CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,	
165		(f) -C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,	
		(g) $-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,	
		(h) =N-O-R ¹⁰ where R ¹⁰ is as previously defined,	
*		(i) -C≡N,	
		(j) $O-S(O)_nR^{10}$ where n is 0, \int or 2 and R^{10} is as previously defined,	
170		(k) aryl,	
		(l) substituted aryl,	
		(m) heteroaryl,	
	•	(n) substituted heteroaryl,	
		(o) C ₃ -C ₇ -cycloalkyl,	
175		(p) C ₁ -C ₁₂ -alkyl substituted with heteroaryl,	
		(q) NHC(O)R ¹⁰ where R_1^{10} is as previously defined,	
		(r) NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,	
<u> </u>		(s) = $N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,	
<u>u</u>		(t) =N-R ⁹ wherein R ⁹ is as previously defined,	
		(u) = N-NHC(O) R^{10} where R^{10} is as previously defined,	
<u>u</u>		and	
<u>L</u>		(v) = N-NHC(O)NR 11 R 12 wherein R 11 and R 12 are as previously	
		defined; $\sqrt{\sqrt{V}}$	
	(7)	C_3 - C_{10} -alkynyl;	
<u>.</u> 185	and		
	(8)	C ₃ -C ₁₀ -alkynyl substituted with one or more substituents selected from the	•
4		group consisting of	
		(a) trialkylsilyl,	
		(b) aryl,	
190		(c) substituted aryl,	
		(d) heteroaryl,	
		and	
		(e) substituted/heteroaryl;	
	the method co	mprising:	
195			
	(a) treating	g a compound having the formula	

wherein R^p is a hydroxy protecting group and V is $=N-O-R^1$ or $=N-O-C(R^5)(R^6)-O-R^1$ wherein R^1 , R^9 and R^{10} are as previously defined, with a base in an aprotic solvent followed by treatment with an alkylating agent to give a compound having the formula

wherein R^a and R^p are as previously defined, V is =N-O-R¹ or =N-O-C(R^5)(R^6)-O-R¹ wherein R^1 , R^5 and R^6 are as previously defined, and R is the "alkyl group" derived from the corresponding alkylating agent;

210 (b) deprotecting the 2'- and 4"/-hydroxyl groups to give a compound of the formula

wherein Ra is as previously defined and Rais the "alkyl group" derived from the corresponding alkylating agent;

(c) deoximation in the presence of acid in a suitable solvent to give the desired intermediate having the formula

(d) removing the cladinose moiety by hydrolysis with acid, and protecting the 2' hydroxyl group by treatment with a hydroxy-protecting reagent to give a 3-hydroxy erythromycin compound having the formula

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(e) oxidizing the 3-hydroxy group, optionally deprotecting the 2'-hydroxyl group, and isolating the desired compound.

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The process according to Claim 9 wherein in step (a) the base is selected 10. from the group consisting of potassium hydroxide, cesium hydroxide, tetraalkylammonium hydroxide, sodium hydride, potassium hydride, potassium isopropoxide, potassium tert, butoxide and potassium isobutoxide, the alkylating agent is selected from the group consisting of allyl bromide, propargyl bromide, benzyl bromide, 2-fluoroethyl bromide, 4nitrobenzyl bromide, 4-chlorobenzyl bromide, 4-methoxybenzyl bromide, α-bromo-ptolunitrile, cinnamyl bromide, methyl 4-bromocrotonate, crotyl bromide, 1-bromo-2pentene, 3-bromo-1-propenyl phenyl sulfone, 3-bromo-1-trimethylsilyl-1-propyne, 3bromo-2-octyne, 1-bromo-2-butyne, 2-picolyl chloride, 3-picolyl chloride, 4-picolyl chloride, 4-bromomethyl quinoline, bromoacetonitrile, epichlorohydrin, bromofluoromethane, bromonitromethane, methyl bromoacetate, methoxymethyl chloride, bromoacetamide, 2-bromoacetophenone, 1-bromo-2-butanone, bromo chloromethane, bromomethyl phenyl sulfone, 1,3-dibromo-1-propene, allyl O-tosylate, 3-phenylpropyl-Otrifluoromethane sulfonate, and n-butyl-O-methanesulfonate, and the reaction is performed at a temperature from about -15 °C/to about 50 °C for a period from 0.5 hours to 10 days; in step (b) deprotection is accomplished by use of acetic acid in water and acetonitrile; and in step (c) the deoximating reagent is an inorganic sulfur oxide compound selected from the group consisting of sodium hydrogen sulfite, sodium pyrosulfate, sodium thiosulfate, sodium sulfate, sodium sulfite, sodium hydrosulfite, sodium metabisulfite, sodium dithionate, potassium thiosulfate, and potassium metabisulfite, or an inorganic nitrite salt in the presence of acid selected from the group consisting of sodium nitrite and potassium nitrite, and the solvent is selected from the group consisting of water, methanol, ethanol, propanol, isopropanol, trimethylsilanol or a mixture of one or more thereof; in step (d) the hydroxy protecting reagent is selected from the group consisting of a trialkysilyl halide, an acyl anhydride or an acyl halide; in step (e), the oxidizing is selected from N-

11. A compound according to Claim, I, having the formula

5 wherein R, Rc, L and T are as described therein.

A compound according to Claim II which is selected from the group consisting of:

- Compound of Formula (III): Rc is acetyl, L is CO, T is NH, R is -CH₂CH=CH₂; Compound of Formula (III): Rc is acetyl, L is CO, T is NH, R is -CH₂CH=CH-(3-quinolyl);
- Compound of Formula (III): R^c is benzoyl, L is CO, T is NH, R is -CH₂CH=CH-(3-quinolyl);
- Compound of Formula (III): R^c is propanoyl, L is CO, T is NH, R is -CH₂CH=CH-(3-quinolyl); and
- Compound of Formula (III): R^c is ethylsuccinoyl, L is CO, T is NH, R is -CH₂CH=CH-(3-quinolyl).

A compound according to Claim 1/2 having the formula (IX)

T1840X

(IX)

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wherein L, T and R are as defined therein.
   5
                         A compound according to Claim 18 which is selected from the group
        consisting of:
        Compound of Formula (IX): L is CO, T is O, R is -CH2CH=CH2;
        Compound of Formula (IX): L is CO, T is O, R is -CH2CH=CH-phenyl;
        Compound of Formula (IX): L is CO, T is O, R is -CH2CH2CH2-Phenyl;
   5
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-chlorophenyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(3-quinolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>.;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>.;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=NOH.;
  10
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH;
PRESENT OF OR STATE
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>F;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>-(4-quinolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH(OH)CN;
        Compound of Formula (IX): L is CO, T is O, R is -CH(C(O)OCH<sub>3</sub>)CH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CN;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-methoxyphenyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-fluorophenyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(8-quinolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>-(4-quinolyl);
  25
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-quinolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(4-quinolyl);
  30
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(5-quinolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(5-quinolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-benzoxazolyl);
        Compound of Formula (IX): L is CO, T is O, R is -CH<sub>2</sub>CH=CH-(4-benzimidazolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH2;
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Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-Phenyl;
  35
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(3-quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>.;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>.;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOH.;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH;
  40
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>F;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH(OH)CN;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>-(4-quinolyl);
  45
        Compound of Formula (IX): L is CO, T is NH, R is -CH(C(O)OCH<sub>3</sub>)CH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CN;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-chlorophenyl);
TESTETED STORES
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-fluorophenyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(4-methoxyphenyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-methoxyphenyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(3-chloro-6-quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>-(2-
                 chlorophenyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>-phenyl;
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>-(4-quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(3-fluoro-6-quinolyl);
  60
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>-(4-quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(3-cyano-6-quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>-(5-quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-benzoxazolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-benzimidazolyl);
  65
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(3-methoxy-6-
                 quinolyl);
        Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>-(2-naphthyl);
        Compound of Formula (IX): L is CO, T is N(CH<sub>3</sub>), R is -CH<sub>2</sub>CH=CH<sub>2</sub>;
        Compound of Formula (IX): L is CO, T is N(CH<sub>3</sub>), R is -CH<sub>2</sub>CH=CH-(3-quinolyl);
  70
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Compound of Formula (IX): L is CO, T is N(CH₂CH₂N(CH₃)₂), R is -CH₂CH=CH₂;

- Compound of Formula (IX): L is CO, T is N(CH₂CH₂N(CH₃)₂), R is -CH₂CH=CH-(3-quinolyl);
- Compound of Formula (IX): L is CO, T is N(CH₂CH=CH₂), R is -CH₂CH=CH₂;
- 75 Compound of Formula (IX): L is CO, T is T is N(CH₂CH=C-(3-quinolyl)), R is -CH₂CH=CH-(3-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(3-pyridyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(2-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(4-isoquinolinyl);
- 80 Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(3,4-methylenedioxyphenyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(8-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(5-indolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-chloro-3-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(3,4-ethylenedioxyphenyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(3-nitrophenyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(6-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-nitroquinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(5-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(2-methyl-6-quinolyl);
 - Compound of Formula (III): L is CO, T is NH, R^c is acetyl; R is -CH₂CH=CH-(3-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(5-isoquinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(7-nitro-6-quinoxalinyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-amino-3-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(1,8-naphthyridin-3-yl);
- 100 Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(6-(acetylamino)-3-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(3-carbazolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(5-benzimidazolyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(-3-hydroxy-2-(N-(2-methoxyphenyl)amido)-7-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-quinoxalinyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=CH-(6-hydroxy-3-quinolyl);

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Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-methoxy-3-
                                                quinolyl);
    110
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(5-nitro-3-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(8-nitro-3-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(2-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-quinolyl);
    115
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(4-carboxyl-3-
                                                quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-fluoro-3-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-methoxycarbonyl-3-
                                               quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(6-aminocarbonyl-3-
    120
                                               quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH2CH=CH-(6-cyano-3-quinolyl);
 Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=CH-(3-bromo-6-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>C(O)H;
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>Phenyl;
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>Phenyl;
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>Phenyl;
                         Compound of Formula (IX): L is CO, T is NH, R is
                                               -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>Phenyl;
130
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>
                                               (3-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>(3-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>(6-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NO(phenyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>(phenyl);
    135
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>(4-NO<sub>2</sub>-phenyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>(4-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>(2-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>(3-quinolyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>-(6-quinolyl);
    140
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>-(1-naphthyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH=NOCH<sub>2</sub>-(2-naphthyl);
                         Compound of Formula (IX): L is CO, T is NH, R is -CH<sub>2</sub>CH<sub>2</sub>NHOCH<sub>2</sub>-(phenyl);
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Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH₂NHOCH₂-(4-NO₂-phenyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH₂C(O)-phenyl;

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160
170
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naphthyl);

Compound of Formula (IX): L is CO, T is NH, R is -CH₂C(O)-(4-F-phenyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH=NNHC(O)phenyl; Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH₂CH₂-(3-quinolyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-(2-(3-quinolyl)cyclopropyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-H; 150 Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(3-quinolyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(6-nitro-3-quinolyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-phenyl; Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-naphthyl; Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C \equiv C-(2-naphthyl); 155 Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(6-methoxy-2-naphthyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(6-chloro-2-naphthyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(6-quinolyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(2-methyl-6-quinolyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(5-(N-(2pyridyl)amino)carbonyl)furanyl); Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(1-phenylethenyl); Compound of Formula (IX): L is CO, T is NH, R is $-CH_2-C = C-Br$; Compound of Formula (IX): L is CO, T is NH, R is -CH₂-(2,2-dimethyl-1,3-dioxolan-4-Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH(OH)-phenyl; Compound of Formula (IX): L is CO, T is NH, R is -CH₂CH(OH)CH₂OH; Compound of Formula (IX): L is CO, T is NHNH₂, R is -CH₂CH=CH₂; Compound of Formula (IX): L is CO, T is NHNH₂, R is -CH₂CH=CH-(3-quinolyl); Compound of Formula (IX): L is CO, T is NHNH₂, R is -CH₂CH₂CH₂-(3-quinolyl); Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-naphthyl; Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(3-(2-furanyl)-6quinolyl); Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(8-chloro-3-quinolyl); Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(4-chloro-2-175 trifluoromethyl-6-quinolyl); Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(9-fluorenone-2-yl); Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(6-benzoyl-2naphthyl); Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(7-methoxy-2-180

190

- Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(3-phenyl-6-quinolyl);
- Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(3-(2-pyridyl)-6-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(3-(2-thiophenyl)-6-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(4-methylnaphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(6- β -D-galactopyranosyl-2-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(7-quinolyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(4-fluoronaphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(3-biphenyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(5-nitronaphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(4-pyrrolylphenyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(6-methoxy-2-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(3,5-dichlorophenyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂-(3-iodophenyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂-(3-(2-furanyl)phenyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(6-hydroxy-2-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(6-(2-bromoethoxy)-2-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-(6-(2-(tetrazolyl)ethoxy-2-naphthyl);
 - Compound of Formula (IX): L is CO, T is NH₂, R is -CH₂CH=CH-naphthyl;
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂-C≡C-(2-phenylethenyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂-CH=CH-(5-(3-isoxazolyl)-2-thiophenyl);
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂-CH=CH-(1,3-dimethyl-2,4-dioxo-5-pyrimidinyl); and
 - Compound of Formula (IX): L is CO, T is NH, R is -CH₂-CH=CH-(5-(2-pyridyl)aminocarbonyl-2-furanyl).

A process for the preparation of 6-O-substituted macrolide compounds

having the Formula:

11820X

wherein:

R^c is hydrogen or a hydroxy protecting group;

(III)

L is carbonyl and T is -O-,

and

R is selected from the group consisting of

- (1) methyl substituted with a moiety selected from the group consisting of
 - (a) CN,
 - (b) F,
 - (c) $-CO_2R^{10}$ wherein R^{10} is C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,
 - (d) $S(O)_n R^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
 - (e) $NHC(O)R^{10}$ where R^{10} is as previously defined,
 - (f) NHC(O)NR¹¹R¹² wherein R¹¹ and R¹² are independently selected from hydrogen, C₁-C₃-alkyl, C₁-C₃-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,
 - (g) aryl,
 - (h) substituted aryl,
 - (i) heteroaryl,

and

- (j) substituted heteroaryl,
- (2) C_2 - C_{10} -alkyl,
- (3) C_2 - C_{10} -alkyl substituted with one or more substituents selected from the group consisting of
 - (a) halogen,
 - (b) hydroxy,

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 C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,

(f) $-N_{3}$,

-CHO, (g)

(h) O-SO₂-(substituted C₁-C₆-alkyl),

-NR¹³R¹⁴ wherein R¹³ and R¹⁴ are selected from the group (i) consisting of

> (i) hydrogen,

(ii) C_1 - C_{12} -alkyl,

substituted C₁-C₁₂-alkyl, (iii)

(iv) C₁-C₁₂-alkenyl,

substituted C₁-C₁₂-alkenyl, (v)

(vi) C_1 - C_{12} -alkynyl,

substituted C₁-C₁₂-alkynyl, (vii)

(viii) aryl,

C₃-C₈-cycloalkyl, (ix)

substituted C₃-C₈-cycloalkyl, (x)

(xi) substituted aryl,

(xii) heterocycloalkyl,

(xiii) substituted heterocycloalkyl,

C₁-C₁₂-alkyl substituted with aryl, (xiv)

C₁-C₁₂-alkyl substituted with substituted aryl, (xv)

(xvi) C_1 - C_{12} -alkyl substituted with heterocycloalkyl,

(xvii) C₁-C₁₂-alkyl substituted with substituted heterocycloalkyl,

(xviii) C₁-C₁₂-alkyl substituted with C₃-C₈-cycloalkyl,

C₁-C₁₂-alkyl substituted with substituted C₃-C₈-cycloalkyl, (xix)

heteroaryl, (xx)

(xxi) substituted heteroaryl,

(xxii) C₁-C₁₂-alkyl substituted with heteroaryl,

and

or

(xxiii) C₁-C₁₂-alkyl substituted with substituted heteroaryl,

R¹³ and R¹⁴ are taken together with the atom to which they are attached form a 3-10 membered heterocycloalkyl ring which may be substituted with one or more substituents independently selected from the group consisting of

			(i) halogen,
			(ii) hydroxy,
70			(iii) C_1 - C_3 -alkoxy,
			(iv) C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
			(v) oxo,
			(vi) C_1 - C_3 -alkyl,
			(vii) halo-C ₁ -C ₃ -alkyl,
75			and
			(vii) C_1 - C_3 -alkoxy- C_1 - C_3 -alkyl,
		(j)	-CO ₂ R ¹⁰ wherein R ¹⁰ is as previously defined,
		(k)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(l)	=N-O-R ¹⁰ wherein R ¹⁰ is as previously defined,
80		(m)	-C≡N,
		(n)	$O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
		(o)	aryl,
Tī		(p)	substituted aryl,
ÇÜ Sa	,	(q)	heteroaryl,
IBEES		(r)	substituted heteroaryl,
M		(s)	C ₃ -C ₈ -cycloalkyl,
		(t)	substituted C ₃ -C ₈ -cycloalkyl,
# # 90		(u)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
		(v)	heterocycloalkyl,
90		(w)	substituted heterocycloalkyl,
v <u>a</u>		(x)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
**************************************		(y)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(z)	=N-NR 13 R 14 wherein R 13 and R 14 are as previously defined,
		(aa)	=N-R ⁹ wherein R ⁹ is as previously defined,
95		(bb)	=N-NHC(O) R^{10} wherein R^{10} is as previously defined,
		and	
		(cc)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
			defined;
	(4)	C ₃ -all	kenyl substituted with a moiety selected from the group consisting of
100		(a)	halogen,
		(b)	-СНО,
		(c)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
		(d)	-C(O)-R ⁹ where R ⁹ is as previously defined,
		(e)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,

105		(f)	-C≡N,
		(g)	aryl,
		(h)	substituted aryl,
		(i)	heteroaryl,
		(j)	substituted heteroaryl,
110		(k)	C ₃ -C ₇ -cycloalkyl,
		and	
		(l)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
	(5)	C ₄ -C ₁	₀ -alkenyl;
	(6)	C ₄ -C ₁	0-alkenyl substituted with one or more substituents selected from the
115	group	consisti	ing of
		(a)	halogen,
		(b)	C ₁ -C ₃ -alkoxy,
etom:	,	(c)	oxo,
		(d)	-CHO,
120		(e)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
e M		(f)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
4		(g)	-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
¥1		(h)	=N-O-R ¹⁰ where R ¹⁰ is as previously defined,
		(i)	-C≡N,
1 125 1 1 1 1		(j)	$O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
		(k)	aryl,
<u>Li</u>		(l)	substituted aryl,
*[]		(m)	heteroaryl,
7		(n)	substituted heteroaryl,
130		(o)	C ₃ -C ₇ -cycloalkyl,
		(p)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
		(q)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
		(r)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(s)	=N-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
135		(t)	=N-R ⁹ wherein R ⁹ is as previously defined,
		(u)	=N-NHC(O) R^{10} where R^{10} is as previously defined,
		and	
		(v)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
		define	d;
140	(7)	C ₃ -C ₁	₀ -alkynyl;

and

- C₃-C₁₀-alkynyl substituted with one or more substituents selected from the (8) group consisting of
 - trialkylsilyl, (a)
- 145
- (b) aryl,
- (c) substituted aryl,
- heteroaryl, (d)

(II)

and

- (e) substituted heteroaryl;
- the method comprising: 150

treating a compound having the formula

wherein R is as defined previously and R^c is a hydroxy protecting group, with carbonyldiimidazole and sodium hexamethyldisilazide to give the desired compound wherein R^c is a hydroxy protecting group, optionally deprotecting, and isolating the desired compound.

wherein:

R^c is hydrogen or a hydroxy protecting group;

(III)

L is carbonyl,

T is selected from the group consisting of -NH-, and -N(W-Rd)-, wherein

W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-;

and

R^d is selected from the group consisting of

- (1) hydrogen,
- (2) C₁-C₆-alkyl optionally substituted with one or more substituents selected from the group consisting of
 - (a) aryl,
 - substituted-aryl, (b)
 - (c) heteroaryl,
 - substituted-heteroaryl, (d)
 - (e)
 - (f)

NR⁷R⁸ wherein R⁷ and R⁸ are independently selected from the graph Contribution of the gr (g) atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1 - C_6 -alkyl-)-, -N(aryl)-, -N(aryl- C_1 - C_6 -alkyl-)-, -N(substituted-aryl-C₁-C₆-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-

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 C_1 - C_6 -alkyl-)-, -N(substituted-heteroaryl- C_1 - C_6 -alkyl-)-, and -S- or -S(O)_n-, wherein n is 1 or 2,

and

(h) $-CH_2-M-R^9$

wherein M is selected from the group consisting of:

- (i) -C(O)-NH-,
- (ii) -NH-C(O)-,
- (iii) -NH-,
- (iv) -N=,
- (v) $-N(CH_3)-$,
- (vi) -NH-C(O)-O-
- (vii) -NH-C(O)-NH-
- (viii) -O-C(O)-NH-
- (ix) -O-C(O)-O-
- (x) -O-,
- (xi) $-S(O)_n$, wherein n is 0, 1 or 2,
- (xii) -C(O)-O-,
- (xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R⁹ is selected from the group consisting of:

- (i) C₁-C₆-alkyl, optionally substituted with a substituent selected from the group consisting of
 - (aa) aryl,
 - (bb) substituted-aryl,
 - (cc) heteroaryl, and
 - (dd) substituted-heteroaryl,
- (ii) aryl,
- (iii) substituted-aryl,
- (iv) heteroaryl,
- (v) substituted-heteroaryl,

and

- (vi) heterocycloalkyl,
- (3) C₃-C₇-cycloalkyl,
- (4) aryl,
 - (5) substituted-aryl,



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	(v)	substituted C ₁ -C ₁₂ -alkenyl,
105	(vi)	C ₁ -C ₁₂ -alkynyl,
	(vii)	substituted C ₁ -C ₁₂ -alkynyl,
	(viii)	aryl,
	(ix)	C ₃ -C ₈ -cycloalkyl,
	(x)	substituted C ₃ -C ₈ -cycloalkyl,
110	(xi)	substituted aryl,
	(xii)	heterocycloalkyl,
	(xiii)	substituted heterocycloalkyl,
	(xiv)	C ₁ -C ₁₂ -alkyl substituted with aryl,
	(xv)	C ₁ -C ₁₂ -alkyl substituted with substituted aryl,
115	(xvi)	C ₁ -C ₁₂ -alkyl substituted with heterocycloalkyl,
	(xvii)	C ₁ -C ₁₂ -alkyl substituted with substituted heterocycloalkyl,
	(xviii)	C ₁ -C ₁₂ -alkyl substituted with C ₃ -C ₈ -cycloalkyl,
	(xix)	C ₁ -C ₁₂ -alkyl substituted with substituted C ₃ -C ₈ -cycloalkyl,
D M	(xx)	heteroaryl,
120	(xxi)	substituted heteroaryl,
	(xxii)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
ui Ui	and	
_	(xxiii)	C ₁ -C ₁₂ -alkyl substituted with substituted heteroaryl,
125 125	or ·	
125	R ¹³ an	d R ¹⁴ are taken together with the atom to which they are
Li	attached form	a 3-10 membered heterocycloalkyl ring which may be
Q	substituted wi	th one or more substituents independently selected from the
-	group consisti	ng of
	(i)	halogen,
130	(ii)	hydroxy,
	(iii)	C ₁ -C ₃ -alkoxy,
	(iv)	C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
	(v)	oxo,
	(vi)	C ₁ -C ₃ -alkyl,
135	(vii)	halo-C ₁ -C ₃ -alkyl,
	and	
	(vii)	C ₁ -C ₃ -alkoxy-C ₁ -C ₃ -alkyl,
	(j) -CO ₂ R	R ¹⁰ wherein R ¹⁰ is as previously defined,
	(k) -C(O)	$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
140	(1) = N-O-	R^{10} wherein R^{10} is as previously defined,





- (m) -C≡N,
- (n) $O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
- (o) aryl,
- (p) substituted aryl,
- (q) heteroaryl,
 - (r) substituted heteroaryl,
 - (s) C₃-C₈-cycloalkyl,
 - (t) substituted C₃-C₈-cycloalkyl,
 - (u) C₁-C₁₂-alkyl substituted with heteroaryl,
- (v) heterocycloalkyl,
 - (w) substituted heterocycloalkyl,
 - (x) NHC(O) R^{10} where R^{10} is as previously defined,
 - (y) NHC(O)NR¹¹R¹² wherein R¹¹ and R¹² are as previously defined,
 - (z) = $N-NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
 - (aa) = $N-R^9$ wherein R^9 is as previously defined,
 - (bb) =N-NHC(O)R¹⁰ wherein R¹⁰ is as previously defined,

and

170

- (cc) =N-NHC(O)NR¹¹R¹² wherein R¹¹ and R¹² are as previously defined;
- (4) C₃-alkenyl substituted with a moiety selected from the group consisting of
 - (a) halogen,
 - (b) -CHO,
 - (c) -CO₂R¹⁰ where R¹⁰ is as previously defined,
 - (d) $-C(O)-R^9$ where R^9 is as previously defined,
 - (e) -C(O)NR¹¹R¹² wherein R¹¹ and R¹² are as previously defined,
 - (f) -C≡N,
 - (g) aryl,
 - (h) substituted aryl,
 - (i) heteroaryl,
 - (j) substituted heteroaryl,
 - (k) C₃-C₇-cycloalkyl,

and

- (l) C_1 - C_{12} -alkyl substituted with heteroaryl,
- (5) C_4 - C_{10} -alkenyl;
- 175 (6) C₄-C₁₀-alkenyl substituted with one or more substituents selected from the group consisting of

		(a)	halogen,
		(b)	C ₁ -C ₃ -alkoxy,
		(c)	oxo,
180		(d)	-CHO,
		(e)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
		(f)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(g)	-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
		(h)	=N-O-R ¹⁰ where R ¹⁰ is as previously defined,
185		(i)	-C≡N,
		(j)	$O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
		(k)	aryl,
		(1)	substituted aryl,
		(m)	heteroaryl,
190		(n)	substituted heteroaryl,
		(o)	C ₃ -C ₇ -cycloalkyl,
		(p)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
7.5 2.5		(q)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
Q		(r)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
J 95		(s)	=N-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
		(t)	=N-R ⁹ wherein R ⁹ is as previously defined,
? Cl		(u)	=N-NHC(O) R^{10} where R^{10} is as previously defined,
*.j		and	
		(v)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
200		define	d;
2004	(7)	C_3 - C_1	₀ -alkynyl;
	and		
	(8)	C_3 - C_1	0-alkynyl substituted with one or more substituents selected from the
		group	consisting of
205		(a)	trialkylsilyl,
		(b)	aryl,
		(c)	substituted aryl,
		(d)	heteroaryl,
		and	
210		(e)	substituted heteroaryl;
	the method co	mprisin	g:

(a) treating a compound having the formula

(II)

wherein R is as defined previously, and Rc is a hydroxy protecting group, by treatment with sodium hexamethyldisilazide and carbonyldiimidazole to give a compound having the formula

treating the compound from step (a) with a reagent selected from the group consisting of ammonia, Re-NH₂, hydrazine, substituted hydrazine, hydroxylamine, and substituted hydroxylamine to give a compound having the formula

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wherein Re is H or W-Rd, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and Rd is as defined previously, 230

- optionally treating the compound from step (b) wherein W is absent or NH- with an (c) 0 alkylating agent selected from the group consisting of Rd-halogen to give a compound wherein W is absent or \tilde{K}^{NH} - and R^{d} is as defined above; 0
 - optionally treating the compound from step (b) wherein W is -NH- and Rd is H with (d) 235 an acylating agent selected from the group consisting of Rd-C(CO)-halogen or and Ø (Rd-C(CO)-O)₂ to give a compound wherein W is -NH-CO- and Rd is as defined above;
 - optionally treating the compound from step (b) wherein W is -NH- and Rd is H with an aldehyde Rd-CHO, wherein Rd as defined above to give a compound wherein W is 240 -N=CH- and Rd is as defined above; and
 - (f) optionally deprotecting, and isolating the desired compound.

A process for preparing a compound having the formula

wherein R and Rp

R is selected from the group consisting of

- methyl substituted with a moiety selected from the group consisting of (1)
 - CN, (a)
 - F, (b)

 - -CO₂R¹⁰ wherein R¹⁰ is C₁-C₃-alkyl or aryl substituted C₁-C₃-alkyl, (c) or heteroaryl substituted C₁-C₃-alkyl,
 - S(O)_nR¹⁰ where n is 0, 1 or 2 and R¹⁰ is as previously defined, (d)
 - $NHC(O)R^{10}$ where R^{10} is as previously defined, (e)
 - NHC(O)NR¹¹R¹² wherein R¹¹ and R¹² are independently (f) selected from hydrogen, C₁-C₃-alkyl, C₁-C₃-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,

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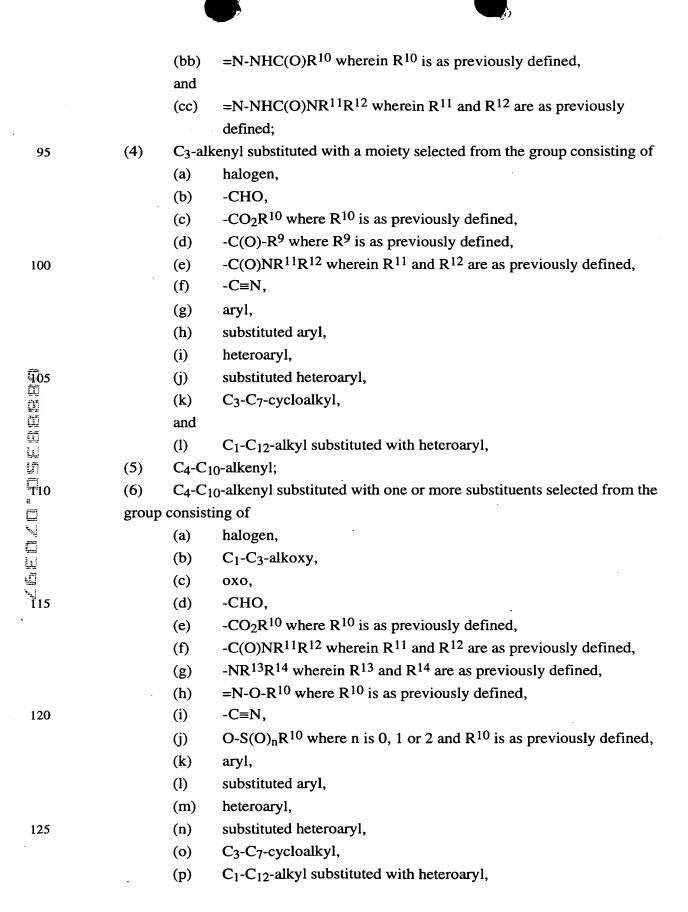
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-203-

		(g)	aryl,	•
		(h)	•	uted aryl,
		(i)	heteroa	•
20		and		
_ •		(j)	substit	uted heteroaryl,
	(2)	-	o-alkyl,	
	(3)	_	•	substituted with one or more substituents selected from the
		consisti	•	
25	0 1	(a)	haloge	n, ·
		(b)	hydrox	
		(c)	=	-alkoxy,
		(d)		-alkoxy-C ₁ -C ₃ -alkoxy,
		(e)	oxo,	
30		(f)	-N ₃ ,	
		(g)	-CHO,	
	•	(h)		-(substituted C ₁ -C ₆ -alkyl),
W M		(i)	-NR ¹³]	R ¹⁴ wherein R ¹³ and R ¹⁴ are selected from the group
Ĭ		consist		
CEERS C . OFUJ47			(i)	hydrogen,
			(ii)	C ₁ -C ₁₂ -alkyl,
E			(iii)	substituted C ₁ -C ₁₂ -alkyl,
tal t			(iv)	C ₁ -C ₁₂ -alkenyl,
			(v)	substituted C ₁ -C ₁₂ -alkenyl,
₩ ⊈ 40			(vi)	C ₁ -C ₁₂ -alkynyl,
ليحص			(vii)	substituted C ₁ -C ₁₂ -alkynyl,
			(viii)	aryl,
			(ix)	C ₃ -C ₈ -cycloalkyl,
			(x)	substituted C ₃ -C ₈ -cycloalkyl,
45			(xi)	substituted aryl,
			(xii)	heterocycloalkyl,
			(xiii)	substituted heterocycloalkyl,
			(xiv)	C ₁ -C ₁₂ -alkyl substituted with aryl,
			(xv)	C ₁ -C ₁₂ -alkyl substituted with substituted aryl,
50			(xvi)	C ₁ -C ₁₂ -alkyl substituted with heterocycloalkyl,
			(xvii)	$C_1\text{-}C_{12}\text{-}alkyl$ substituted with substituted heterocycloalkyl,
			(xviii)	C ₁ -C ₁₂ -alkyl substituted with C ₃ -C ₈ -cycloalkyl,
			(xix)	$C_1\hbox{-} C_{12}\hbox{-} alkyl \ substituted \ with \ substituted} \ C_3\hbox{-} C_8\hbox{-} cycloalkyl,$

		(xx)	heteroaryl,
55		(xxi)	substituted heteroaryl,
		(xxii)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
		and	
		(xxiii)	C ₁ -C ₁₂ -alkyl substituted with substituted heteroaryl,
	(or	
60		$ m R^{13}$ as	nd R ¹⁴ are taken together with the atom to which they are
	8	attached form	a 3-10 membered heterocycloalkyl ring which may be
	5	substituted w	ith one or more substituents independently selected from the
	٤	group consist	ing of
		(i)	halogen,
65		(ii)	hydroxy,
		(iii)	C ₁ -C ₃ -alkoxy,
		(iv)	C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
	•	(v)	oxo,
		(vi)	C ₁ -C ₃ -alkyl,
7 0		(vii)	halo-C ₁ -C ₃ -alkyl,
Q		and	
		(vii)	C_1 - C_3 -alkoxy- C_1 - C_3 -alkyl,
	(R ¹⁰ wherein R ¹⁰ is as previously defined,
* 175	. ($NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
75	((1) = N-O	$-R^{10}$ wherein R^{10} is as previously defined,
u u	((m) -C≡N	
ū	. ((n) O-S($($	$O)_n R^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
"થ્યું	((o) aryl,	
	((p) substi	tuted aryl,
80		(q) hetero	
	(tuted heteroaryl,
			-cycloalkyl,
			tuted C ₃ -C ₈ -cycloalkyl,
	(2-alkyl substituted with heteroaryl,
85			cycloalkyl,
			tuted heterocycloalkyl,
		•	$O(R^{10})$ where R^{10} is as previously defined,
			O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
			$R^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
90	((aa) = N-R	⁹ wherein R ⁹ is as previously defined,



		(q)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
		(r)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
130		(s)	=N-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
		(t)	=N-R ⁹ wherein R ⁹ is as previously defined,
		(u)	=N-NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
		and	
		(v)	=N-NHC(O)NR 11 R 12 wherein R 11 and R 12 are as previously
135		define	•
	(7)	C ₃ -C ₁	₁₀ -alkynyl;
n.	and		
	(8)	C ₃ -C ₁	0-alkynyl substituted with one or more substituents selected from the
F. 5		group	consisting of
140		(a)	trialkylsilyl,
		(b)	aryl,
		(c)	substituted aryl,
U M		(d)	heteroaryl,
<u>ā</u>		and	·
145		(e)	substituted heteroaryl;
	Re is H or W-	Rd, wh	erein W is absent or is selected from the group consisting of -O-,
	-NH-CO-, -N	=CH- a	nd -NH-, and R ^d is selected from the group consisting of
Ţ	(1)	hydro	gen,
€	(2)	C_1 - C_6	3-alkyl optionally substituted with one or more substituents selected
1 50		from	the group consisting of
I		(a)	aryl,
يحيا		(b)	substituted-aryl,
		(c)	heteroaryl,
		(d)	substituted-heteroaryl,
155		(e)	hydroxy,
		(f)	C_1 - C_6 -alkoxy,
		(g)	NR ⁷ R ⁸ wherein R ⁷ and R ⁸ are independently selected from
			hydrogen and C_1 - C_6 -alkyl, or R^7 and R^8 are taken with the nitrogen
			atom to which they are connected to form a 3- to 7-membered ring
160			which, when the ring is a 5- to 7-membered ring, may optionally
			contain a hetero function selected from the group consisting of -O-,
	•		-NH-, -N(C_1 - C_6 -alkyl-)-, -N(aryl)-, -N(aryl- C_1 - C_6 -alkyl-)-,

 $\hbox{-N (substituted-aryl-C_1-C_6-alkyl-)-, -N (heteroaryl-, -N (hetero$

• • •					abstituted-heteroaryl-C ₁ -C ₆ -alkyl-)-, and -S- or
165) _n -, wher	rein n is	s 1 or 2,
		and	N . D 0		
		-	2-M-R ⁹	1 4	í Carada a caracida a c
		wner			I from the group consisting of:
			(i)		-NH-,
170			(ii)	-NH-0	
			(iii)	-NH-	,
			(iv)	-N=,	
				-N(C)	
			•		C(O)-O-
175					C(O)-NH-
			(viii)		O)-NH-
			(ix)	-O-C(O)-O-
C C			(x)	-O-,	
			(xi)		n-, wherein n is 0, 1 or 2,
<u>ílí</u> 80			(xii)	-C(O)	
ارتیا ارتیا			(xiii)	-O-C(O)-,
u e			and	~.~	
Lai s			(xiv)	-C(O)	- ,
Ō		and			
185		R ⁹ is			ne group consisting of:
W			(i)		s-alkyl, optionally substituted with a substituent
<u>.</u>					ed from the group consisting of
124				(aa)	aryl,
				(bb)	substituted-aryl,
190				(cc)	heteroaryl, and
				(dd)	substituted-heteroaryl,
			(ii)	aryl,	
			(iii)		tuted-aryl,
			(iv)	hetero	•
195			(v)	substi	tuted-heteroaryl,
			and		
*			(vi)	hetero	cycloalkyl,
	(3)	C ₃ -C ₇ -cyclo	alkyl,		
	(4)	aryl,			
200	(5)	substituted-a	ıryl,		

- (6) heteroaryl,
- and
- (7) substituted-heteroaryl;

the method comprising.

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(a) treating a compound having the formula

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wherein R is as previously defined, Rp is a hydroxy protecting group and Z' is 4"-hydroxy-protected cladinose, with sodium hexamethyldisilazide and carbonyldiimidazole to give a compound having the formula

215

(b) treating the compound from step (a) with a reagent selected from the group consisting of ammonia, Re-NH₂, hydrazine, substituted hydrazine, hydroxylamine, and substituted hydroxylamine to give a compound having the formula

wherein Re is H or W-Rd, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and R^d is as defined previously,

- optionally treating the compound from step (b) wherein Re is H with an alkylating 225 (c) agent having the formula Rd-halogen, wherein Rd is as defined previously, to give a compound of the formula shown in step (b) wherein Re is W-Rd, W is absent and Rd is as defined previously;
 - optionally treating the compound from step (b) wherein Re is W-Rd and W is -NHand R^d is H, with an alkylating agent selected from the group consisting of R^d-halogen, wherein R^d is as defined previously, to give a compound of the formula shown in step (b) wherein Re is W-Rd, W is -NH- and Rd is as defined above;
 - optionally treating the compound from step (b) wherein Re is W-Rd and W is -NH-(e) and Rd is H, with an acylating agent selected from the group consisting of Rd-C(CO)halogen of (Rd-C(CO)-O)₂ to give a compound wherein Re is W-Rd, W is -NH-CO- and Rd is as defined above;
- optionally treating the compound from step (b) wherein Re is W-Rd and W is -NH-240 (f) and Rd is H, with an aldehyde having the formula Rd-CHO, wherein Rd as defined above to give a compound wherein Re is W-Rd, W is -N=CH- and Rd is as defined above;
- removing the cladinose moiety by hydrolysis with acid to give a compound having (g) the formula 245

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(h)

oxidizing the 3-hydroxyl group; and

(i)

optionally deprotecting, and isolating the desired compound.

18. A process according to Claim Wherein R is selected from the group consisting of allyl and propargyl, wherein the allyl or propargyl moiety is further substituted with a moiety selected from the group consisting of 2-chlorophenyl, 2-fluorenyl, 2-methyl-6-quinolyl, 2-naphthyl, 2-phenylethenyl, 2-quinolyl, 3-(2-furanyl)-6-quinolyl, 3-(2pyridyl)-6-quinolyl, 3-quinolyl, 3-(2-thiophenyl)-6-quinolyl, 3-biphenyl, 3-bromo-6quinolyl, 3-carbazolyl, 3-chloro-6-quinolyl, 3-cyano-6-quinolyl, 3-fluoro-6-quinolyl, 3hydroxy-2-(N-(2-methoxyphenyl)amido)-7-naphthyl, 3-iodophenyl, 3-methoxy-6-quinolyl, 3-nitrophenyl, 3-phenyl-6-quinolyl, 3-quinolyl, 4-benzoxazolyl, 4-carboxyl-3-quinolyl, 4chloro-2-trifluoromethyl-6-quinolyl, 4-chlorophenyl, 4-fluoronaphthyl, 4-fluorophenyl, 4isoquinolinyl, 4-methoxyphenyl, 4-methylnaphthyl, 4-pyridyl, 4-pyrrolylphenyl, 4quinolyl, 5-(2-pyridyl)aminocarbonyl-2-furanyl, 5-(3-isoxazolyl)-2-thiophenyl, 5benzimidazolyl, 5-indolyl, 5-isoquinolyl, 5-nitro-3-quinolyl, 5-nitronaphthyl, 5-quinolyl, 6-(acetylamino)-3-quinolyl, 6-(2-(tetrazolyl)ethoxy-2-naphthyl, 6-(2-bromoethoxy)-2naphthyl, 6-amino-3-quinolyl, 6-aminocarbonyl-3-quinolyl, 6-β-D-galactopyranosyl-2-Pnaphthyl, 6-benzoyl-2-naphthyl, 6-cyano-3-quinolyl, 6-fluoro-3-quinolyl, 6-hydroxy-2naphthyl, 6-hydroxy-3-quinolyl, 6-methoxy-2-naphthyl, 6-methoxy-3-quinolyl, 6methoxycarbonyl-3-quinolyl, 6-nitroquinolyl, 6-quinolyl, 6-quinoxalinyl, 7-methoxy-2naphthyl, 7-nitro-6-quinoxalinyl, 7-quinolyl, 8-chloro-3-quinolyl, 8-nitro-3-quinolyl, 8quinolyl, 9-oxofluoren-2-yl, 1,3-dimethyl-2,4-dioxo-5-pyrimidinyl, 1,8-naphthyridin-3-yl, 3,4-methylenedioxyphenyl, 3,5-dichlorophenyl, naphthyl, and phenyl, and in step (b) the reagent is selected from the group consisting of ammonia and Re-NH₂; optional steps (c), (d) and (e) are omitted; and in step (g) the oxidizing reagent is selected from Nchlorosuccinimide-dimethyl sulfide and carbodiimide-dimethylsulfoxide; and in step (h) the

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optional deprotection is carried out by stirring in methanol.

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A process according to Claim 18 wherein R is selected from the group consisting of allyl and propargyl, wherein the allyl or propargyl moiety is further substituted with a moiety selected from the group consisting of 2-methyl-6-quinolyl, 2-quinolyl, 3-(2-furanyl)-6-quinolyl, 3-(2-pyridyl)-6-quinolyl, 3-quinolyl, 3-(2-thiophenyl)-6-quinolyl, 3-bromo-6-quinolyl, 3-chloro-6-quinolyl, 3-cyano-6-quinolyl, 3-fluoro-6-quinolyl, 3-methoxy-6-quinolyl, 3-phenyl-6-quinolyl, 3-quinolyl, 4-carboxyl-3-quinolyl, 4-chloro-2-trifluoromethyl-6-quinolyl, 4-isoquinolinyl, 4-quinolyl, 5-isoquinolyl, 5-nitro-3-quinolyl, 5-quinolyl, 6-(acetylamino)-3-quinolyl, 6-amino-3-quinolyl, 6-aminocarbonyl-3-quinolyl, 6-methoxy-3-quinolyl, 6-methoxy-3-quinolyl, 6-methoxy-3-quinolyl, 6-methoxy-3-quinolyl, 8-chloro-3-quinolyl, 8-nitro-3-quinolyl, 8-chloro-3-quinolyl, 8-nitro-3-quinolyl, and 8-quinolyl.

1228.

A process for preparing a compound having the formula



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- wherein Re is H or W-Rd, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and Rd is selected from the group consisting of
 - (1) hydrogen,
 - (2) C₁-C₆-alkyl optionally substituted with one or more substituents selected from the group consisting of
 - (a) aryl,
 - (b) substituted-aryl,
 - (c) heteroaryl,
 - (d) substituted-heteroaryl,
 - (e) hydroxy,

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(f)

NR⁷R⁸ wherein R⁷ and R⁸ are independently selected from the grade Control by the grad (g) atom to which they are connected to form a 3- to 7-membered ring which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1 - C_6 -alkyl-)-, -N(aryl)-, -N(aryl- C_1 - C_6 -alkyl-)-, -N(substituted-aryl-C₁-C₆-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C₁-C₆-alkyl-)-, -N(substituted-heteroaryl-C₁-C₆-alkyl-)-, and -S- of AND $-S(O)_{n}$, wherein n is 1 or 2,

and

-CH₂-M-R⁹ (h)

wherein M is selected from the group consisting of:

- (i) -C(O)-NH-,
- (ii) -NH-C(O)-,
- (iii) -NH-,
- (iv) -N=
- (v) -N(CH₃)-,
- (vi) -NH-C(O)-O-
- -NH-C(O)-NH-(vii)
- (viii) -O-C(O)-NH-
- (ix) -O-C(O)-O-
- (x) -O-,
- $-S(O)_n$ -, wherein n is 0, 1 or 2, (xi)
- -C(O)-O-, (xii)
- (xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R⁹ is selected from the group consisting of:

- C₁-C₆-alkyl, optionally substituted with a substituent (i) selected from the group consisting of
 - (aa) aryl,
 - substituted-aryl, (bb)
 - heteroaryl, and (cc)
 - substituted-heteroaryl, (dd)
- (ii) aryl,



- (iii) substituted-aryl,
- (iv) heteroaryl,
- 55 (v) substituted-heteroaryl,
 - and
 - (vi) heterocycloalkyl,
 - (3) C₃-C₇-cycloalkyl,
 - (4) aryl,
- 60 (5) substituted-aryl,
 - (6) heteroaryl,

and

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(7) substituted-heteroaryl;

and R^{10} is H or C_1 - C_3 -alkyl, aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,

the method comprising

(a) treating a compound having the formula

with ozone to give a compound having the formula

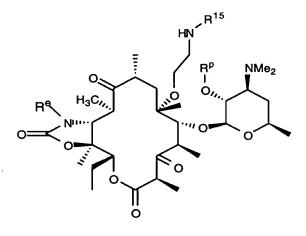
- (b) treating the compound of step (a) with a hydroxylamine compound having the formula NH_2 -O- R^{10} , wherein R^{10} is as previously defined; and
- 80 (c) optionally deprotecting, and isolating the desired compound.

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A process according to Claim 20 wherein Re is H.

14 22. A process for preparing a compound having the formula





- wherein Re is H or W-Rd, wherein W is absent or is selected from the group consisting of -O-, -NH-CO-, -N=CH- and -NH-, and Rd is selected from the group consisting of
 - (1) hydrogen,
 - (2) C₁-C₆-alkyl optionally substituted with one or more substituents selected from the group consisting of
 - (a) aryl,

- substituted-aryl, (b)
- (c) heteroaryl,
- substituted-heteroaryl, (d)
- (e)
- (f)
- NR⁷R⁸ wherein R⁷ and R⁸ are independently selected from Hagari hydrogen and C_1 - C_6 -alkyl, or R⁷ and R⁸ are taken with at atom to which they are (g) which, when the ring is a 5- to 7-membered ring, may optionally contain a hetero function selected from the group consisting of -O-, -NH-, -N(C_1 - C_6 -alkyl-)-, -N(aryl)-, -N(aryl- C_1 - C_6 -alkyl-)-, -N(substituted-aryl-C₁-C₆-alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-C₁-C₆-alkyl-)-, -N(substituted-heteroaryl-C₁-C₆-alkyl-)-, and -S- or $-S(O)_{n}$, wherein n is 1 or 2,

and

-CH₂-M-R⁹ (h)

wherein M is selected from the group consisting of:

- -C(O)-NH-, (i)
- (ii) -NH-C(O)-,
- (iii) -NH-,
- (iv) -N=
- (v) $-N(CH_3)-,$
- -NH-C(O)-O-(vi)
- -NH-C(O)-NH-(vii)
- -O-C(O)-NH-(viii)
- (ix) -O-C(O)-O-
- (x) -O-,
- $-S(O)_n$, wherein n is 0, 1 or 2, (xi)
- -C(O)-O-, (xii)
- (xiii) -O-C(O)-,

and

(xiv) -C(O)-,

and

R⁹ is selected from the group consisting of:

- (i) C₁-C₆-alkyl, optionally substituted with a substituent selected from the group consisting of
 - (aa) aryl,

 $\langle \mathcal{N} \rangle$

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(bb)	substituted-aryl,
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heteroaryl, and (cc)

(dd) substituted-heteroaryl,

(ii) aryl,

substituted-aryl, (iii)

(iv) heteroaryl,

substituted-heteroaryl, (v)

and

(vi) heterocycloalkyl,

(3) C₃-C₇-cycloalkyl,

(4) aryl,

substituted-aryl, 60 (5)

> (6) heteroaryl,

and

(7) substituted-heteroaryl;

and

R¹⁵ is selected from the group consisting of

(1) C₁-C₁₂-alkyl substituted with aryl,

C₁-C₁₂-alkyl substituted with substituted aryl, (2)

(3) C₁-C₁₂-alkyl substituted with heteroaryl,

and

(4) C₁-C₁₂-alkyl substituted with substituted heteroaryl,

the method comprising

(a) reductively aminating a compound having the formula

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- (b) optionally deprotecting, and isolating the desired compound.
 - 23. A compound according to Claim 1 having the formula IV,

wherein R, Rc, A, B, D and E are as described therein.

(IV)

24. A compound according to Claim 23 having the formula VII.

5 wherein A, B, D, E, and R are as defined therein.

(VII)

25. A compound according to Claim 24 which is selected from the group consisting of

Compound of Formula (VII): A, B, D, and E are H, R is allyl;

Compound of Formula (VII): A, B, D, and E are H, R is -CH₂CH₂CH₃;

Compound of Formula (VII): A, B, D, and E are H, R is -CH₂CH₂NH₂; Compound of Formula (VII): A, B, D, and E are H, R is -CH₂CH=NOH;



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Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>F;
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CN;
  10
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH(OH)CN;
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>-phenyl;
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>-(4-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-pyridyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-chlorophenyl);
  15
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-fluorophenyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-
                methoxyphenyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>-phenyl;
  20
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-pyridyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(4-pyridyl);
Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(4-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(5-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-(5-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-benzoxazolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(4-benzimidazolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH=CH-(8-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>-phenyl;
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>-(4-pyridyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>-(4-quinolyl);
        Compound of Formula (VII): A, B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH(CH<sub>2</sub>-
                phenyl)C(O)OCH<sub>3</sub>;
        Compound of Formula (VII): A_{\Lambda}B, D, and E are H, R is -CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>-(2-
                chlorophenyl);
  35
        Compound of Formula (VII): A, B and E are H, D is benzyl, R is allyl;
        Compound of Formula (VII): A/is benzyl, B, D and E are H, R is allyl;
        Compound of Formula (VII): A and E are phenyl, B and D and are H, R is allyl;
        Compound of Formula (VII): A is methyl, B, D and E are H, R is allyl;
        Compound of Formula (VII): A and D are methyl, B and E are H, R is allyl;
  40
        Compound of Formula (VII): A and E taken together is -CH2CH2CH2-, B and D are H, R
                is allyl:
        Compound of Formula (VII): A, B, D, and E are H, R is -CH2CH=CH-(3-quinolyl); and
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Compound of Formula (VII): A, B, D, and E are H, R is -CH₂CH₂CH₂OH;

26. A process for preparing a compound having the formula IV

wherein

R^c is hydrogen or a hydroxy protecting group;

R is selected from the group consisting of

- (1) methyl substituted with a moiety selected from the group consisting of
 - (a) CN,
 - (b) F,
 - (c) $-CO_2R^{10}$ wherein R^{10} is C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,
 - (d) $S(O)_n R^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
 - (e) NHC(O) R^{10} where R^{10} is as previously defined,
 - (f) NHC(O)NR¹¹R¹² wherein R¹¹ and R¹² are independently selected from hydrogen, C₁-C₃-alkyl, C₁-C₃-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,
 - (g) aryl,
 - (h) substituted aryl,
 - (i) heteroaryl,

and

- (j) substituted heteroaryl,
- (2) C_2 - C_{10} -alkyl,
- (3) C_2 - C_{10} -alkyl substituted with one or more substituents selected from the group consisting of
 - (a) halogen,
 - (b) hydroxy,
 - (c) C_1 - C_3 -alkoxy,

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	(d) C ₁ -C	C ₃ -alkoxy-C ₁ -C ₃ -alkoxy,
30	(e) oxo,	
	$(f) -N_3,$	
	(g) -CHe	0,
	(h) O-SO	O_2 -(substituted C_1 - C_6 -alkyl),
	(i) -NR ³	$^{13}R^{14}$ wherein $^{13}R^{13}$ and $^{14}R^{14}$ are selected from the group
35	consisting of	
	(i)	hydrogen
	(ii)	C ₁ -C ₁₂ -alkyl,
	(iii)	substituted C ₁ -C ₁₂ -alkyl,
	(iv)	C ₁ -C ₁₂ -alkenyl,
40	(v)	substituted C ₁ -C ₁₂ -alkenyl,
	(vi)	C ₁ -C ₁₂ -alkynyl,
	(vii)	substituted C ₁ -C ₁₂ -alkynyl,
	(viii)	aryl,
	(ix)	C ₃ -C ₈ -cycloalkyl,
<u>=</u> 45	· (x)	substituted C ₃ -C ₈ -cycloalkyl,
	(xi)	substituted aryl,
u u	(xii)	heterocycloalkyl,
	(xiii)	substituted heterocycloalkyl,
* 50 C U U	(xiv)	C_1 - C_{12} -alkyl substituted with aryl,
50	(xv)	C_1 - C_{12} -alkyl substituted with substituted aryl,
	(xvi)	C_1 - C_{12} -alkyl substituted with heterocycloalkyl,
4	(xvii	C_{1}/C_{12} -alkyl substituted with substituted heterocycloalkyl,
		C ₁ / C_{12} -alkyl substituted with C ₃ -C ₈ -cycloalkyl,
	(xix)	
55	(xx)	héteroaryl,
	(xxi)	
	(xxii)	C_{1} -C ₁₂ -alkyl substituted with heteroaryl,
	and	
) C_1 - C_{12} -alkyl substituted with substituted heteroaryl,
60	or - 12	\(\frac{1}{2} \)
		and R ¹⁴ are taken together with the atom to which they are
		n/a 3-10 membered heterocycloalkyl ring which may be
		ith one or more substituents independently selected from the
	group consis	
65	(i)	halogen,
	,	

			(ii)	hydroxy,
			(iii)	C ₁ -C ₃ -alkoxy,
			(iv)	C ₁ -C ₃ -alkoxy-C ₁ -C ₃ -alkoxy,
			(v)	oxo,
70			(vi)	C ₁ -C ₃ -alkyl,
			(vii)	halo- C_1 - C_{3_1} alkyl,
			and	
			(vii)	C_1 - C_3 -alk ϕ xy- C_1 - C_3 -alkyl,
		(j)	-CO ₂ F	R^{10} wherein R^{10} is as previously defined,
75		(k)	-C(O)	$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
		(1)	=N-O	$-R^{10}$ wherein R^{10} is as previously defined,
		(m)	-C≡N	,
		(n)	O-S(C	$(0)_n R^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
		(o)	aryl,	
_8 0		(p)	substit	tuted aryl,
T M		(q)	hetero	aryl, / (/
		(r)	substit	tuted heteroaryl,
Q 		(s)	C ₃ -C ₈	-cycloalkyl,
₩ M		(t)	substit	tuted C_3 - C_8 -cycloalkyl,
		(u)	C_1-C_1	2-alkyl substituted with heteroaryl,
5	,	(v)	hetero	cycloalkyl,
	•	(w)	substit	tuted heterocycloalkyl,
Li Li		(x)	NHC(O) R^{10} where R^{10} is as previously defined,
Ī		(y)	NHC(O) $NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
³ 90		(z)	=N-N	$R_{\perp}^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
		(aa)	$=N-R^{9}$	wherein R ⁹ is as previously defined,
		(bb)	=N-N	$H_C^{\prime}(O)R^{10}$ wherein R^{10} is as previously defined,
		and		
		(cc)	=N-N	$HC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously
95			define	á ;
	(4)	C ₃ -all	kenyl su	bstituted with a moiety selected from the group consisting of
		(a)	haloge	en,
		(b)	-СНФ	,
		(c)	-CO ₂ F	R^{10} where R^{10} is as previously defined,
100		(d)	-C(O)	-R ⁹ where R ⁹ is as previously defined,
		(e)	-C(\(\phi\))	$NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
		(f)	-C≡N	•
			1	

		(g)	aryl,
		(h)	substituted aryl,
105		(i)	heteroaryl,
		(j)	substituted heteroaryl,
		(k)	C ₃ -C ₇ -cycloalkyl,
		and	
		(1)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
110	(5)	C_4-C_1	₀ -alkenyl;
	(6)	C_4-C_1	0-alkenyl substituted with one or more substituents selected from the
	group	consisti	ng of
		(a)	halogen,
	•	(b)	C ₁ -C ₃ -alkoxy,
115		(c)	oxo, // /
		(d)	-сно,
		(e)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
Œ AT		(f)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
T.		(g)	-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
120		(h)	=N-O-R ¹⁰ where R ¹⁰ is as previously defined,
		(i)	-C≡N,
		(j)	$O-S(O)_n R^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
3 <u>-</u>		(k)	aryl,
÷.,;		(1)	substituted aryl,
125		(m)	heteroaryl,
		(n)	substituted heteroaryl,
*6_ ₂₀		(o)	C ₃ -C ₇ -cycloalkyl,
		(p)	C_1 - C_{12} -alkyl substituted with heteroaryl,
		(q)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
130		(r)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(s)	=N- $NR^{13}R^{14}$ wherein R^{13} and R^{14} are as previously defined,
		(t)	=N-R ⁹ wherein R ⁹ is as previously defined,
		(u)	=N-NHC(O) R^{10} where R^{10} is as previously defined,
		and	
135		(v)	= N_1^I NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
			defined;
	(7)	C_3-C_1	o-alkynyl;
	and		

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	(8)	C ₃ -C ₁₀ -alkynyl substituted with one or more substituents selected from the
140		group consisting of
		(a) trialkylsilyl,
		(b) aryl,
		(c) substituted aryl,
		(d) heteroaryl,
145		and
		(e) substituted heteroaryl;
	and	
		
150	A, B, D and I	E, with the provision that at least two of A, B, D and E are hydrogen, are
		selected from the group consisting of:
	(a)	hydrogen;
	(b)	C ₁ -C ₆ -alkyl, optionally substituted with one or more substituents selected
		from the group consisting of:
<u>1</u> 55		(i) aryl;
		(ii) substituted-aryl;
W U		(iii) heteroaryl;
		(iv) substituted-heteroaryl;
E CONTRACTOR OF THE PROPERTY O		(v) heterocycloalkyl;
160		(vi) hydroxy;
160 U		(vii) C ₁ -C ₆ -alkoxy;
u.		(viii) halogen consisting of Br, Cl, F or I; and
interes.		(ix) NR^7/R^8 wherein R^7 and R^8 are independently selected from
		hydrogen and C_1 - C_6 -alkyl, or R^7 and R^8 are taken with the nitrogen
165		atom to which they are connected to form a 3- to 7-membered ring
		which, when the ring is a 5- to 7-membered ring, may optionally
		contain a hetero function selected from the group consisting of -O-,
		$-NH-$, $-N(C_1-C_6-alkyl-)-$, $-N(aryl)-$, $-N(aryl-C_1-C_6-alkyl-)-$,
		-N(substituted-aryl-C ₁ -C ₆ -alkyl-)-, -N(heteroaryl)-, -N(heteroaryl-
170		C ₁ -C ₆ -alkyl-)-, -N(substituted-heteroaryl-C ₁ -C ₆ -alkyl-)-, and -S- or
		$-\dot{S}(O)_{n}$, wherein n is 1 or 2,
	(c)	C ₃ -C ₇ -cycloalkyl;
	(d)	aryl;
	(e)	substituted-aryl;
175	(f)	heteroaryl;

- (g) substituted-heteroaryl;
- (h) heterocycloalkyl; and
- (i) a group selected from option (b) above further substituted with -M-R⁹, wherein M and R⁹ are as previously defined;

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any one pair of substituents, consisting of AB, AD, AE, BD, BE or DE, is taken together with the atom or atoms to which they are attached to form a 3- to 7-membered ring optionally containing a hetero function selected from the group consisting of O-, -NH-, -N(C₁-C₆-alkyl-)-, -N(aryl-C₁-C₆-alkyl-)-,

-N(substituted-aryl- \dot{C}_1 -C₆-alkyl-)-, -N(heteroaryl-C₁-C₆-alkyl-)-,

-N(substituted-heteroaryl- C_1 - C_6 -alkyl-)-, -S- or -S(O)_n-, wherein n is 1 or 2, -C(O)-NH-, -C(O)-NR¹²-, wherein R¹² is as previously defined, -NH-C(O)-, -NR¹²-C(O)-, wherein R¹² is as previously defined, and

-C(=NH)-NH-;

the method comprising:

(a) treating a compound having the formula

195

wherein R is as defined previously, and Rc is a hydroxy protecting group, by treatment with methanesulfonic anhydride in pyridine, then treating the methansulfonyl derivative with an amine base to give a compound having the formula

ļ. M

(b) treating the compound from step (a) with an alkali metal hydride base and carbonyldiimidazole to give a compound having the formula

(c) treating the compound of step (b) with a diamine having the formula

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wherein A, B, D and E are as defined previously, to give a compound having the formula

- (d) cyclizing the compound of step (e) with dilute mineral or organic acid, optionally deprotecting, and isolating the desired compound.
- 27. A process according to Claim 26 wherein the steps (c) and (d) are replaced by the steps (c)-(f) consisting of
- (c) treating the compound of step (b) with an amine having the formula

wherein A, B, D and E are as defined therein, and Y is hydroxy, to give a compound having the formula

(d) treating the compound of step (c) with triphenylphosphine and diphenylphosphoryl azide and diethylazodicarboxylate in tetrahydrofuran to give the compound of wherein Y is N₃, and removing the deprotecting group to give the compound wherein Y is N₃ and R^c is H;

(e) treating the compound of step (d) with a reducing agent, and dialkylaluminum hydride, to give the compound having the formula

- (f) cyclizing the compound of step (e) with dilute mineral or organic acid, and isolating the desired compound.
 - 28. A compound according to Claim 1 having the formula IV-A

- 5 wherein R, R^c, A, B, D and E are as defined previously.
 - 29. A compound according to Claim 28 wherein R^c is H.

30. A process for preparing a compound having the formula

5 wherein

R^c is hydrogen or a hydroxy protecting group;

R is selected from the group consisting of

- (1) methyl substituted with a moiety selected from the group consisting of
 - (a) CN,
 - (b) F,
 - (c) $-CO_2R^{10}$ wherein R^{10} is C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,
 - (d) $S(O)_n R^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
 - (e) $NHC(O)R^{10}$ where R^{10} is as previously defined,
 - (f) NHC(O)NR, 11R, 12 wherein R, 11 and R, 12 are independently selected from hydrogen, C₁-C₃-alkyl, C₁-C₃-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,
 - (g) aryl,
 - (h) substituted aryl,
 - (i) heteroaryl,

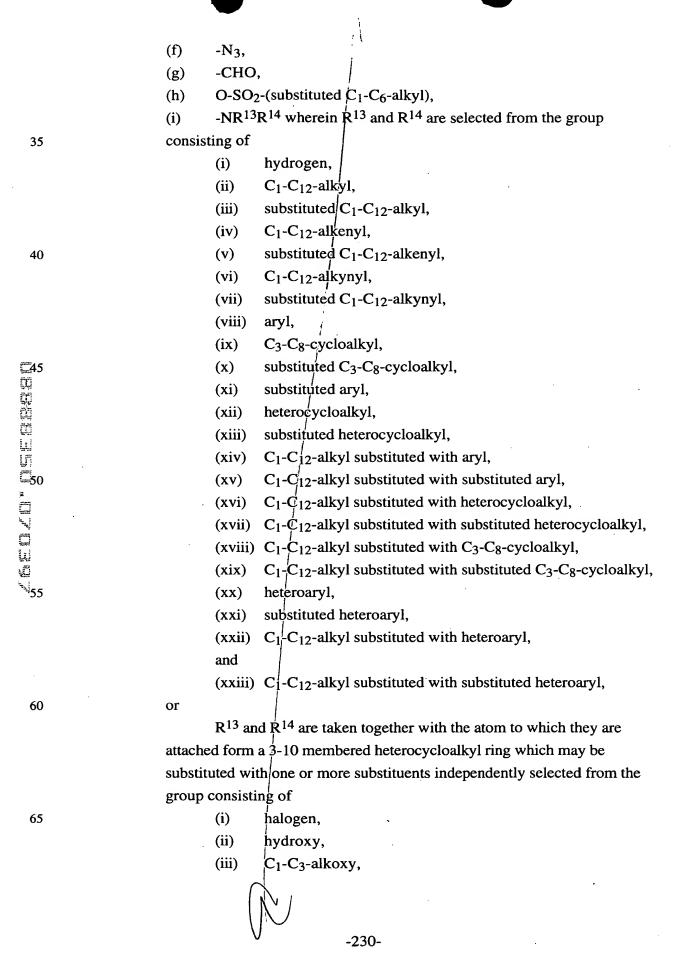
and

- (j) substituted heteroaryl,
- (2) C_2 - C_{10} -alkyl,
- (3) C_2 - C_{10} -alkyl substituted with one or more substituents selected from the group consisting of
 - (a) halogen,
 - (b) hydroxy,
 - (c) C_1 - C_3 -alkoxy,
 - (d) C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,

(e) oxo,

30

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			(iv) C ₁ -C ₃ -alkoxy-C ₁ -C ₃ -alkoxy,
			(v) oxo,
70			(vi) C_1 - C_3 -alkyl,
, 0			(vii) halo- C_1 - C_3 -alkyl,
			and
			(vii) C ₁ -C ₃ -alkoxy-C ₁ -C ₃ -alkyl,
		(j)	-CO ₂ R ¹⁰ wherein R ¹⁰ is as previously defined,
75		(k)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
75		(l)	=N-O-R ¹⁰ wherein R ¹⁰ is as previously defined,
		(m)	-C≡N,
		(n)	$O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
		(n) (o)	aryl,
80		(p)	substituted aryl,
80		(p) (q)	heteroaryl,
gari.		(q) (r)	substituted heteroaryl,
m)		(s)	C ₃ -C ₈ -cycloalkyl,
0 0 0 0 0 0 0 0 0 0 0		(t)	substituted C ₃ -C ₈ -cycloalkyl,
₩ ₩		(u)	C_1 - C_{12} -alky/l substituted with heteroaryl,
M		(u) ·(v)	heterocycloalkyl,
		(v) (w)	substituted heterocycloalkyl,
		(x)	NHC(O) R_i^{10} where R^{10} is as previously defined,
iii	S. C. C.	(y)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(z)	=N-NR ¹³ R^{14} wherein R ¹³ and R ¹⁴ are as previously defined,
W.		(aa)	=N-R ⁹ wherein R ⁹ is as previously defined,
*****		(bb)	=N-NHC(O)R ¹⁰ wherein R ¹⁰ is as previously defined,
		and	-14 14110 (G)12 whorem it is as proviously defined,
		(cc)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
95		(00)	defined;
75	(4)	C2-alk	tenyl substituted with a moiety selected from the group consisting of
	(.)	(a)	halogen,
		(b)	-CHO,
		(c)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
100		(d)	$-C(O)-R^9$ where R^9 is as previously defined,
100		(e)	-C(\mathbb{Q})NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(f)	-C≡N,
		(g)	aryl,
		(h)	substituted aryl,
		(/	

105		(i)	heteroaryl,
•		(j)	substituted heteroaryl,
		(k)	C ₃ -C ₇ -cycloalkyl,
		and	
		(1)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
110	(5)	C ₄ -C ₁₀	y-alkenyl;
	(6)	-	-alkenyl substituted with one or more substituents selected from the
	group	consistii	-
		(a)	halogen,
		(b)	C_1 - C_3 -alkoxy, $////$
115		(c)	oxo,
		(d)	-CHO,
		(e)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
		(f)	-C(O)NR ¹¹ R $_{1}^{12}$ wherein R ¹¹ and R ¹² are as previously defined,
		(g)	-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
120		(h)	=N-O-R ¹⁰ where R ¹⁰ is as previously defined,
		(i)	-C≡N,
		(j)	$O-S(O)_nR^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
u U		(k)	aryl,
		(l)	substituted aryl,
125 \ U U		(m)	heteroaryl
******		(n)	substituted heteroaryl,
		(o)	C ₃ -C ₇ -cycloalkyl,
Ţ		(p)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
San		(q)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
130		(r)	NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(s)	=N-NR 13 R 14 wherein R 13 and R 14 are as previously defined,
		(t)	=N-R ⁹ /wherein R ⁹ is as previously defined,
		(u)	=N-N $_{\perp}^{H}$ C(O)R ¹⁰ where R ¹⁰ is as previously defined,
		and	
135		(v)	=N-N $HC(O)NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously
			defined;
	(7)	C_3-C_{10}	-alkynyl;
	and		$\int_{\mathbb{R}^{n}}$
	(8)	C_3-C_{10}	-alkynyl substituted with one or more substituents selected from the
140		group o	consisting of
		(a)	trialkylsilyl,
			· ·

		(b) aryl,
		(c) substituted aryl,
		(d) heteroaryl,
145		and
		(e) substituted heteroaryl;
	and	
150	A, B, D and	E, with the provision that at least two of A, B, D and E are hydrogen, are
	independentl	y selected from the group consisting of:
	(a)	hydrogen;
	(b)	C ₁ -C ₆ -alkyl, optionally substituted with one or more substituents selected
		from the group consisting of:
155		(i) aryl;
		(ii) substituted-aryl;
		(iii) heteroaryl;
Ĭ		(iv) substituted-heteroaryl;
		(v) heterocycloalkyl;
160		(vi) hydroxy;
		(vii) C ₁ -C ₆ -alkoxy;
5 		(viii) halogen consisting of Br, Cl, F or I; and
4		(ix) NR^7R^8 , wherein R^7 and R^8 are as previously defined;
1 1 165	(c)	C ₃ -C ₇ -cycloalky ¹ ;
1 65	(d)	aryl;
Note: Desired	(e)	substituted-aryl;
	(f)	heteroaryl;
	(g)	substituted-heteroaryl;
	(h)	heterocycloalkyl; and
170	(i)	a group selected from option (b) above further substituted with -M-R ⁹ ,
		wherein M and R ⁹ are as previously defined;
	or	
	any o	ne pair of substituents, consisting of AB, AD, AE, BD, BE or DE, is taken
		together with the atom or atoms to which they are attached to form a 3- to 7-
175		membered ring optionally containing a hetero function selected from the
		group consisting of-O-, -NH-, -N(C_1 - C_6 -alkyl-)-, -N(aryl- C_1 - C_6 -alkyl-)-,
		-N(substituted-aryl- C_1 - C_6 -alkyl-)-, -N(heteroaryl- C_1 - C_6 -alkyl-)-,
		-N(substituted-heteroaryl- C_1 - C_6 -alkyl-)-, -S- or -S(O) _n -, wherein n is

the method comprising:

(a) treating a compound having the formula

185

with a reducing agent.

31. A compound according to Claim 1 having the formula V

5 wherein R, R^c and R^d are as defined therein.

- 5 wherein R is as defined therein.
 - 33. A compound according to Claim 32 which is selected from the group

consisting of

Compound of formula (VI): R is -CH2CH2CH3,

Compound of formula (VI): R is CH2CH=CH,

Compound of formula (VI): R is/-CH₂CH=CH-Phenyl,

Compound of formula (VI): R is -CH2CH2CH2-Phenyl,

Compound of formula (VI): R is -CH₂CH=NOH,

Compound of formula (VI): R is -CH₂CH₂NH₂,

Compound of formula (VI): R is -CH₂CH₂NHCH₂-Phenyl,

Compound of formula (VI): R is -CH₂CH₂NHCH₂-(4-pyrdidyl),

Compound of formula (VI): R is -CH₂CH₂NHCH₂-(4-quinolyl),

Compound of formula (VI): R is -CH₂CH(OH)CN,

Compound of formula (VI): R is -CH₂CH₂NHCH(CO₂CH₃)CH₂-Phenyl,

Compound of formula (VI): R is -CH₂CN,

15 Compound of formula (VI): R is -CH₂CH=CH-(4-methoxyphenyl),

Compound of formula (VI); R is -CH₂CH=CH-(4-chlorophenyl),

Compound of formula (VI): R is -CH₂CH=CH-(4-fluorophenyl),

Compound of formula (VI): R is -CH₂CH=CH-(3-quinolyl),

Compound of formula (VI): R is -CH₂CH=CH-(8-quinolyl), and

- 20 Compound of formula (VI): R is -CH₂CH₂NHCH₂CH₂-(2-chlorophenyl).
 - 34. A process for preparing a compound having the formula

5 wherein

Rb is selected from the group consisting of hydroxy, -O-C(O)-NH2 and

-O-C(O)-imidazolyl;

Rc is hydrogen or a hydroxy protecting group; and

R is selected from the group consisting of

- (1) methyl substituted with a moiety selected from the group consisting of
 - (a) CN,
 - (b) F,
 - (c) $-CO_2R^{10}$ wherein R^{10} is C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,
 - (d) $S(O)_n R^{10}$ where n is 0, 1 or 2 and R^{10} is as previously defined,
 - (e) $NHC(O)R^{10}$ where R^{10} is as previously defined,
 - (f) NHC(O) NR¹¹R¹² wherein R¹¹ and R¹² are independently selected from hydrogen, C₁-C₃-alkyl, C₁-C₃-alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl,
 - (g) aryl,
 - (h) substituted aryl,
 - (i) heteroaryl,

and

- (j) substituted heteroaryl,
- (2) C_2 - C_{10} -alkyl
 - (3) C_2 - C_{10} -alkyl/substituted with one or more substituents selected from the group consisting of
 - (a) halogen,
 - (b) hydroxy,
 - (c) C_1 - C_3 -alkoxy,
 - (d) C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
 - (e) oxo,



(f)	-N ₃ ,						
(g)	-СНО,						
(h)	O-SO ₂	-SO ₂ -(substituted C ₁ -C ₆ -alkyl),					
(i)	-NR ¹³ I	R^{14} wherein R^{13} and R^{14} are selected from the group					
consist	ing of						
	(i)	hydrogen,					
	(ii)	C_1 - C_{12} -alkyl,					
	(iii)	substituted C ₁ -C ₁₂ -alkyl,					
	(iv)	C ₁ -C ₁₂ -alkenyl,					
	(v)	substituted C ₁ -C ₁₂ -alkenyl,					
	(vi)	C_1 - C_{12_i} alkynyl,					
	(vii)	substituted C ₁ -C ₁₂ -alkynyl,					
	(viii)	aryl, \\					
	(ix)	C3-C8-cycloalkyl,					
	(x)	substituted C ₃ -C ₈ -cycloalkyl,					
	(xi)	substituted aryl,					
	(xii)	heterocycloalkyl,					
	(xiii)	substituted heterocycloalkyl,					
	(xiv)	C_1 - \dot{C}_{12} -alkyl substituted with aryl,					
	(xv)	C_1 - C_{12} -alkyl substituted with substituted aryl,					
	(xvi)	C ₁ -C ₁₂ -alkyl substituted with heterocycloalkyl,					
	(xvii)	C_1/C_{12} -alkyl substituted with substituted heterocycloalkyl,					
	(xviii)	C_1 - C_{12} -alkyl substituted with C_3 - C_8 -cycloalkyl,					
	(xix)	C_1^{\dagger} - C_{12} -alkyl substituted with substituted C_3 - C_8 -cycloalkyl					
	(xx)	heteroaryl,					
	(xxi)	substituted heteroaryl,					
	(xxii)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,					
	and						
	(xxiii)	C ₁ -C ₁₂ -alkyl substituted with substituted heteroaryl,					
or							
	R ¹³ an	$d R^{14}$ are taken together with the atom to which they are					
attache	d form	3-10 membered heterocycloalkyl ring which may be					
substitu	ited wit	h one or more substituents independently selected from the					
group	consisti	ng of					

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- halogen, hydroxy, (i)
- (ii)
- (iii) C₁-C₃-alkoxy,

70			(iv) C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy,
			(v) oxo,
			(vi) C_1 - C_3 -alkyl,
			(vii) halo-C ₁ -C ₃ -alkyl,
			and
75			(vii) C_1 - C_3 -alkoxy- C_1 - C_3 -alkyl,
		(j)	-CO ₂ R ¹⁰ wherein R ¹⁰ /is as previously defined,
		(k)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(1)	=N-O-R ¹⁰ wherein R^{10} is as previously defined,
•		(m)	-C≡N,
80		(n)	$O-S(O)_nR^{10}$ wherein n is 0, 1 or 2 and R^{10} is as previously defined,
		(o)	aryl,
		(p)	substituted aryl, (/
		(q)	heteroaryl,
		(r)	substituted heteroaryl,
5685 5045 5045 5045 5045 5045 5045 5045 50		(s)	C ₃ -C ₈ -cycloalky, 1,
T.	•	(t)	substituted C_3 - ϕ_8 -cycloalkyl,
W		(u)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
w Li		(v)	heterocycloalkyl,
		(w)	substituted heterocycloalkyl,
_90		(x)	NHC(O)R ¹⁰ where R ¹⁰ is as previously defined,
		(y)	NHC(O)NR $_{1}^{11}$ R 12 wherein R 11 and R 12 are as previously defined,
		(z)	=N-NR ¹³ R $_{1}^{1/4}$ wherein R ¹³ and R ¹⁴ are as previously defined,
		(aa)	=N-R ⁹ wherein R ⁹ is as previously defined,
مُحي _ة م		(bb)	=N-NHC(Φ)R ¹⁰ wherein R ¹⁰ is as previously defined,
95		and	
		(cc)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
			defined;
	(4)	C ₃ -all	kenyl substituted with a moiety selected from the group consisting of
		(a)	halogen,
100		(b)	-СНО,
		(c)	-CO ₂ R $_{\perp}^{1/0}$ where R ¹⁰ is as previously defined,
		(d)	$-C(O)$ - R^9 where R^9 is as previously defined,
		(e)	-C(O) $NR^{11}R^{12}$ wherein R^{11} and R^{12} are as previously defined,
		(f)	-C≡N,
105		(g)	aryl,
		(h)	substituted aryl,
			į.

			1 , 1
		(i)	heteroaryl,
		(j)	substituted heteroaryl,
		(k)	C ₃ -C ₇ -cycloalkyl,
110		and	
		(1)	C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
	(5)		0-alkenyl;
	(6)		0-alkenyl substituted with one or more substituents selected from the
	• •	consist	
115	B. o. P		halogen,
115		(b)	C_1 - C_3 -alkoxy,
		(c)	oxo,
		(d)	-CHO,
		(e)	-CO ₂ R ¹⁰ where R ¹⁰ is as previously defined,
120		(f)	-C(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously defined,
		(g)	-NR ¹³ R ¹⁴ wherein R^{13} and R^{14} are as previously defined,
w M		(h)	=N-O-R ¹⁰ where R^{10} is as previously defined,
1		(i)	-C≡N,
		(j)	O-S(O) _n R ¹⁰ where n is 0, 1 or 2 and R ¹⁰ is as previously defined,
125		(k)	aryl,
		(l)	substituted aryl,
		(n) (m)	heteroaryl,
		(n)	substituted heteroaryl,
		(n) (o)	C ₃ -C ₇ -cycloalkyl,
130			C ₁ -C ₁₂ -alkyl substituted with heteroaryl,
· [] - 130		(p) (q)	NHC(O) R^{10} where R^{10} is as previously defined,
, mg		(q) (r)	NHC(O)NR 11 R 12 wherein R 11 and R 12 are as previously defined,
		(s)	=N-NR ¹³ R ¹⁴ wherein R ¹³ and R ¹⁴ are as previously defined,
	•	(s) (t)	=N-R ⁹ wherein R ⁹ is as previously defined,
135		(u)	=N-NHC(\mathbb{O})R ¹⁰ where R ¹⁰ is as previously defined,
133		and	=14-1411e(0)R* where R* is as previously defined,
		(v)	=N-NHC(O)NR ¹¹ R ¹² wherein R ¹¹ and R ¹² are as previously
	defined;	(*)	wherein R and R are as previously
	(7)	C ₂ -C ₄	o-alkynyl.
140	and	C3-C1	0-alkynyl;
140	(8)	C ₂ -C ₁	0-alkynyl substituted with one or more substituents selected from the
	(0)		consisting of
	•	(a)	trialkylsilyl,
		(4)	, , , , , , , , , , , , , , , , , , , ,
			<i>l</i> .

170

145

- (b) aryl,
- (c) substituted aryl
- (d) heteroaryl,

and

(e) substituted heteroaryl;

the method comprising:

150 (a) treating a compound having the formula

wherein R^c is a hydroxy protecting group and R is as previously defined with a reagent combination selected from

- (1) an alkali metal hydride and a phosgene reagent selected from phosgene, diphosgene and triphosgene under anhydrous conditions, followed by aqueous base catalyzed decarboxylation, and
- (2) reaction with methanesulfonic anhydride in pyridine, followed by treatment with an amine base, to give the compound of formula V wherein R^b is hydroxy;
- (b) optionally treating the compound of formula V of step (b) wherein R^b is hydroxy with an alkali metal hydride base and carbonyldiimidazole to give the compound of formula V wherein R^b is -O-C(O)-imidazolyl;
- (c) optionally treating the compound of formula V of step (a) wherein R^b is -O-C(O)-imidazolyl with an amine to give the compound of formula V wherein R^b is -O-C(O)-NH₂; and
- (d) optionally deprotecting and isolating the desired compound.

or

(IV-A)

(V)

as well as pharmaceutically acceptable salts, esters or prodrugs thereof; pharmaceutical compositions comprising such compounds; methods of treating bacterial infections by the administration of such compounds; and processes for the preparation of the compounds.